10/ 530,897a

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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 8	JAN 29	PHAR reloaded with new search and display fields
NEWS 9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13	FEB 26	MEDLINE reloaded with enhancements
NEWS 14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19	MAR 16	CASREACT coverage extended
NEWS 20	MAR 20	MARPAT now updated daily
NEWS 21	MAR 22	LWPI reloaded
NEWS 22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 23	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS 24	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS 26	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS 28	MAY 01	New CAS web site launched
NEWS 29	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS 30	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 31	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS 32	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS 33	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS 34	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

10/ 530,897a

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* * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:39:00 ON 28 MAY 2007

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

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STRUCTURE FILE UPDATES:   27 MAY 2007  HIGHEST RN 935984-33-1
DICTIONARY FILE UPDATES:  27 MAY 2007  HIGHEST RN 935984-33-1

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http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10530897a.str
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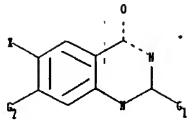
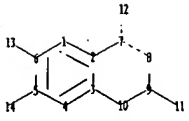
10/ 530,897a






chain nodes :
11 12 13 14 16 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
5-14 6-13 7-12 9-11 16-17 16-18
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
2-7 3-10 5-14 7-8 7-12 8-9 9-10 9-11 16-17 16-18
exact bonds :
6-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:O,N,Ak

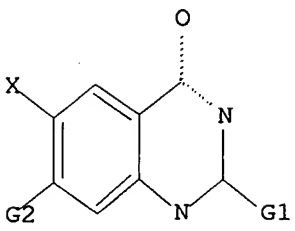
G2:X,NO2,Ak,Cb,[*1]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

10/ 530,897a



G1 O,N,Ak

G2 X,NO2,Ak,Cb,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:40:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 15652 TO ITERATE

12.8% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 305547 TO 320533
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 12:40:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 311168 TO ITERATE

100.0% PROCESSED 311168 ITERATIONS 96 ANSWERS
SEARCH TIME: 00.00.06

L3 96 SEA SSS FUL L1

=> file zcaplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	173.00	173.21

FILE 'ZCAPLUS' ENTERED AT 12:41:05 ON 28 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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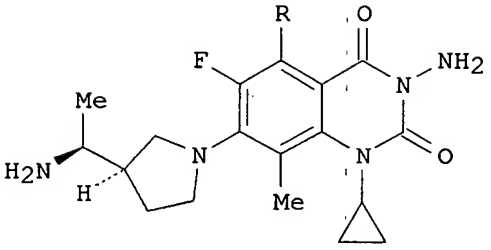
FILE COVERS 1907 - 28 May 2007 VOL 146 ISS 23
FILE LAST UPDATED: 27 May 2007 (20070527/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3
L4          52 L3

=> d his

     (FILE 'HOME' ENTERED AT 12:39:00 ON 28 MAY 2007)

     FILE 'REGISTRY' ENTERED AT 12:39:17 ON 28 MAY 2007
L1              STRUCTURE UPLOADED
L2            0 S L1
L3           96 S L1 FUL

     FILE 'ZCAPLUS' ENTERED AT 12:41:05 ON 28 MAY 2007
L4           52 S L3

=> d l4

L4   ANSWER 1 OF 52  ZCAPLUS  COPYRIGHT 2007 ACS on STN
AN   2007:188040  ZCAPLUS
DN   146:421937
TI   Structure-activity relationships of 3-aminoquinazolinediones, a new class
     of bacterial type-2 topoisomerase (DNA gyrase and topo IV) inhibitors
AU   Tran, Tuan P.; Ellsworth, Edmund L.; Sanchez, Joseph P.; Watson, Brian M.;
     Stier, Michael A.; Showalter, H. D. Hollis; Domagala, John M.; Shapiro,
     Martin A.; Joannides, E. Themis; Gracheck, Stephen J.; Nguyen, Dai Q.;
     Bird, Paul; Yip, Judy; Sharadendu, Anurag; Ha, Chan; Ramezani, Saeed; Wu,
     Xiujuan; Singh, Rajeshwar
CS   Department of Chemistry, Pfizer Global Research and Development, Ann Arbor
     Laboratories, Ann Arbor, MI, 48105, USA
SO   Bioorganic & Medicinal Chemistry Letters (2007), 17(5), 1312-1320
     CODEN: BMCLE8; ISSN: 0960-894X
PB   Elsevier Ltd.
DT   Journal
LA   English
RE.CNT  18    THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> d l4 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 52 ANSWERS - CONTINUE? Y/(N):y

L4   ANSWER 1 OF 52  ZCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        2007:188040  ZCAPLUS
DOCUMENT NUMBER:         146:421937
TITLE:                   Structure-activity relationships of
                         3-aminoquinazolinediones, a new class of bacterial
                         type-2 topoisomerase (DNA gyrase and topo IV)
                         inhibitors
AUTHOR(S):               Tran, Tuan P.; Ellsworth, Edmund L.; Sanchez, Joseph
```

P.; Watson, Brian M.; Stier, Michael A.; Showalter, H. D. Hollis; Domagala, John M.; Shapiro, Martin A.; Joannides, E. Themis; Gracheck, Stephen J.; Nguyen, Dai Q.; Bird, Paul; Yip, Judy; Sharadendu, Anurag; Ha, Chan; Ramezani, Saeed; Wu, Xiujuan; Singh, Rajeshwar
CORPORATE SOURCE: Department of Chemistry, Pfizer Global Research and Development, Ann Arbor Laboratories, Ann Arbor, MI, 48105, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(5), 1312-1320
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

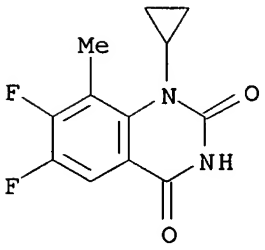


I

AB A series of 3-aminoquinazolinediones was synthesized and evaluated for its antibacterial and DNA gyrase activity. The SAR around the quinazolinedione core was explored and the optimal substitutions were combined to give two compds., I (R = H, Me), with exceptional enzyme potency (IC50 = 0.2 μM) and activity against Gram-pos. organisms (MIC's = 0.015-0.06 μ g/mL).

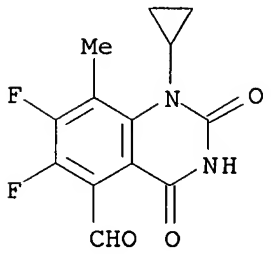
IT 351368-09-7P 934394-43-1P 934394-44-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, antibacterial and SAR of aminoquinazolinediones starting from fluorobenzoic acids using nucleophilic substitution with amines and heterocyclization as key steps)

RN 351368-09-7 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methyl- (CA INDEX NAME)

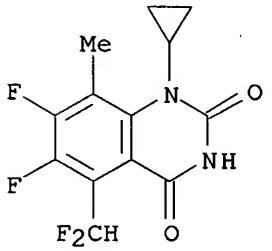


RN 934394-43-1 ZCAPLUS
CN 5-Quinazolinecarboxaldehyde, 1-cyclopropyl-6,7-difluoro-1,2,3,4-tetrahydro-

8-methyl-2,4-dioxo- (CA INDEX NAME)



RN 934394-44-2 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-5-(difluoromethyl)-6,7-difluoro-8-methyl- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:101023 ZCAPLUS
DOCUMENT NUMBER: 144:192265
TITLE: Preparation of quinazoline derivatives as AMPA receptor antagonist and for the treatment or delay of progression of epilepsy or schizophrenia
INVENTOR(S): Allgeier, Hans; Froestl, Wolfgang; Koller, Manuel; Mattes, Henri; Nozulak, Joachim; Ofner, Silvio; Orain, David; Rasetti, Vittorio; Renaud, Johanne; Soldermann, Nicolas; Floersheim, Philipp
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010591	A2	20060202	WO 2005-EP8113	20050726
WO 2006010591	A3	20061012		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,

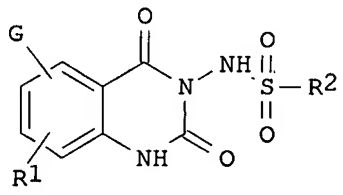
ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005266490	A1	20060202	AU 2005-266490	20050726
CA 2571223	A1	20060202	CA 2005-2571223	20050726
EP 1773788	A2	20070418	EP 2005-768294	20050726
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

PRIORITY APPLN. INFO.: GB 2004-16730 A 20040727
WO 2005-EP8113 W 20050726

OTHER SOURCE(S): MARPAT 144:192265

GI



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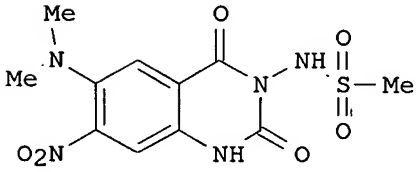


II

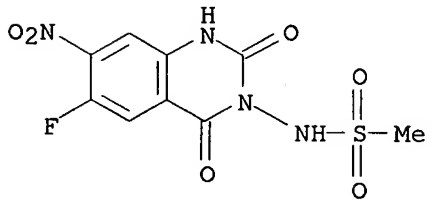
AB 1H-quinazoline-2,4-dione derivs. I, wherein G is NR3R4 or OR5, wherein R3-R5 are independently hydrogen, aryl, aralkyl, acyl, alkyl optionally substituted by aryl, heterocyclyl, aryloxy, aralkyloxy or alkoxycarbonylamino, or R3 and R4 together with the adjacent nitrogen atom form heteroaryl or heterocyclyl containing at least one nitrogen ring atom and attached via this nitrogen ring atom, wherein heteroaryl and heterocyclyl are optionally substituted by aryl, aralkyl, aryloxyalkyl, aminocarbonylalkyl, mono- or dialkyl aminocarbonylalkyl or morpholinocarbonylalkyl, R1 is nitro or trifluoromethyl, and R2 is alkyl, aryl or aralkyl, and their salts, were prepared as as AMPA receptor antagonist and for the treatment or delay of progression of epilepsy or schizophrenia. Title compds. were prepared and used for the prevention, treatment or delay of progression of epilepsy or schizophrenia, neuropathic pain, affective and attention disorders, schizophrenia, tinnitus, myopia and other ocular disorders, multiple sclerosis, dementia. The invention provides a combination which comprises at least one compound I ("AMPA receptor antagonist") and at least one compound selected from the group consisting of lithium, valproic acid sodium salt, conventional antipsychotics, atypical antipsychotics, lamotrigine, Me phenidate, antidepressants and antiepileptics is greater than the additive effect of the combined drugs. Thus, quinazoline II was prepared and tested as an antagonist at the rGluR3 AMPA receptor with an IC50 0f 2.3 μM.

IT 875155-05-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of quinazoline derivs. as AMPA receptor antagonist and for the treatment or delay of progression of epilepsy or schizophrenia)

RN 875155-05-8 ZCAPLUS

CN Methanesulfonamide, N-(6-fluoro-1,4-dihydro-7-nitro-2,4-dioxo-3(2H)-quinazolinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:979624 ZCAPLUS

DOCUMENT NUMBER: 143:286442

TITLE: Preparation of bicyclic pyrimidine derivatives as CCR4 function-controlling agents

INVENTOR(S): Kawano, Noriyuki; Igarashi, Susumu; Koganemaru, Yohei; Yamasaki, Shingo; Hattori, Kazuyuki; Masuda, Naoyuki; Ishikawa, Noriko; Miyazaki, Takahiro

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082865	A1	20050909	WO 2005-JP3207	20050225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-53121 A 20040227
JP 2004-183083 A 20040621

OTHER SOURCE(S): MARPAT 143:286442

GI

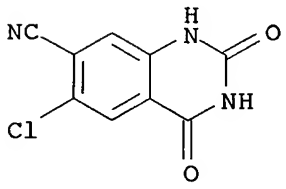
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted aryl, etc.; ring B = II, etc.; X = N, etc.; Y = N, etc.; Z = O, etc.; R1 = (un)substituted alkyl, etc.; R2 = halo, etc.; n = 0-3; m = 0-4; j = 0-3; k = 0-2] were prepared For example,

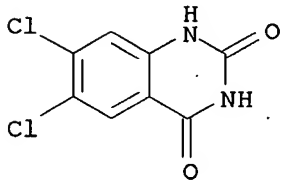
reaction of 2-[(1'-{4-[(4-chlorophenyl)amino]-6,7-dimethoxyquinazolin-2-yl}-1,4'-bipiperidin-3-yl)methyl]-1H-isoindol-1,3(2H)-dione with hydrazine hydrate followed by treating with HCl afforded compound III·2HCl. In GTPγS binding assays, the IC50 value of compound III·2HCl was 13 nM. Compds. I are claimed useful for the treatment of inflammation, allergy, etc.

IT 864292-28-4P 864293-02-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic pyrimidine derivs. as CCR4 function-controlling agents for treatment of inflammation, allergy, etc.)

RN 864292-28-4 ZCAPLUS
CN 7-Quinazolinecarbonitrile, 6-chloro-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 864293-02-7 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-dichloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:588913 ZCAPLUS
DOCUMENT NUMBER: 143:115558
TITLE: Preparation of quinazoline derivatives as α4 integrin inhibitors
INVENTOR(S): Sagi, Kazuyuki; Okuzumi, Tatsuya; Yamada, Tatsuhiro; Kageyama, Shunsuke; Shima, Yoichiro; Nakagawa, Tadakiyo; Tokumasu, Munetaka; Sugiki, Masayuki; Ito, Hajime; Tanabe, Itsuya; Suzuki, Tamotsu; Nakayama, Akira; Ubukata, Kazuyuki; Shinkai, Kenji; Tanaka, Yasuhiro; Noguchi, Misato; Andou, Ayatoshi; Yamamoto, Yoriko; Kataoka, Noriyasu; Fujita, Koichi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061466	A1	20050707	WO 2004-JP19704	20041222
WO 2005061466	A9	20060727		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004303696	A1	20050707	AU 2004-303696	20041222
CA 2550843	A1	20050707	CA 2004-2550843	20041222
US 2005222141	A1	20051006	US 2004-18226	20041222
EP 1700850	A1	20060913	EP 2004-808055	20041222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1917881	A	20070221	CN 2004-80041967	20041222
BR 2004018026	A	20070417	BR 2004-18026	20041222
NO 2006003372	A	20060920	NO 2006-3372	20060720
PRIORITY APPLN. INFO.:			JP 2003-425347 A	20031222
			JP 2004-74943 A	20040316
			JP 2004-159919 A	20040528
			JP 2004-260319 A	20040907
			US 2004-539108P P	20040127
			US 2004-617026P P	20041012
			WO 2004-JP19704 W	20041222
OTHER SOURCE(S):	MARPAT 143:115558			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

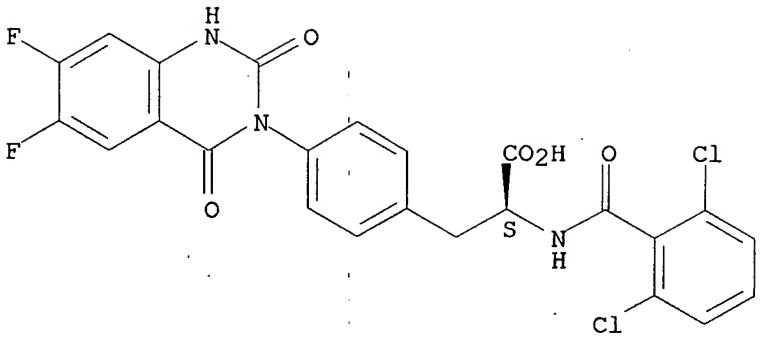
AB Title compds. I [R11 = hydroxy, etc.; R12, R13 = H, alkyl, etc.; R14 = Me, ethyl; R1' = H, F, Cl; X1 = CHR1a, etc.; R1a = H, methyl; (Y11,Y12) = (Cl,Cl), etc.] were prepared For example, reaction of resin bound compound II, e.g., prepared from Fmoc-4-nitro-L-phenylalanine in 6 steps, with carbonyldiimidazole followed by methylation and treatment with trifluoroacetic acid afforded compound III. In VCAM-1/α4β1 integrin binding inhibition assays, the IC50 value of compound III was 1.7 nM. Compds. I are useful for the treatment of inflammation, diabetes, etc.

IT 857870-92-9DP, resin bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazoline derivs. as α4 integrin inhibitors for treatment of inflammation, diabetes, etc.)

RN 857870-92-9 ZCAPLUS

CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-(6,7-difluoro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:489163 ZCAPLUS
DOCUMENT NUMBER: 143:172832

TITLE: A facile synthesis of substituted 3-amino-1H-quinazoline-2,4-diones

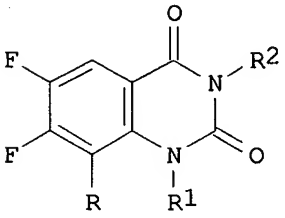
AUTHOR(S): Tran, Tuan P.; Ellsworth, Edmund L.; Watson, Brian M.; Sanchez, Joseph P.; Showalter, H. D. Hollis; Rubin, John R.; Stier, Michael A.; Yip, Judy; Nguyen, Dai Q.; Bird, Paul; Singh, Rajeshwar

CORPORATE SOURCE: Chemistry Department, Pfizer Global Research and Development, Michigan Laboratories, Ann Arbor, MI, 48105, USA

SOURCE: Journal of Heterocyclic Chemistry (2005), 42(4), 669-674
CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:172832

GI



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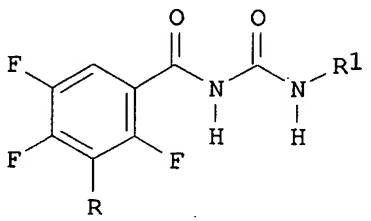


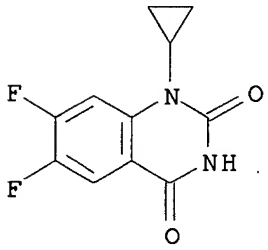
II

AB A new synthesis of a series of 3-amino-1H-quinazoline-2,4-diones I [R = cyclopropyl, Ph, CHMe2; R1 = H, Me, OMe; R2 = NH2] is described. I [R2 = H] were made from the fluorobenzoic acids in three high yielding steps. The key step of this synthesis involved the generation of the dianion of ureas II and the subsequent intramol. nucleophilic displacement of the 2-fluoro to form the quinazolinedione ring. The 3-amino moiety was incorporated using (2,4-dinitro-phenyl)hydroxylamine as the aminating reagent.

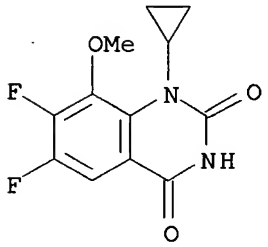
IT 351367-81-2P 351367-87-8P 351368-09-7P
860768-89-4P 860768-90-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted 3-amino-1H-quinazoline-2,4-diones)

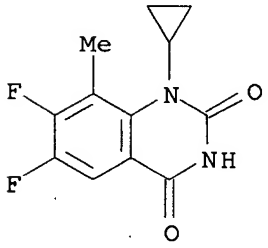
RN 351367-81-2 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro- (9CI) (CA INDEX NAME)



RN 351367-87-8 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methoxy- (9CI) (CA INDEX NAME)

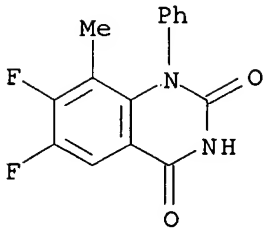


RN 351368-09-7 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methyl- (CA INDEX NAME)

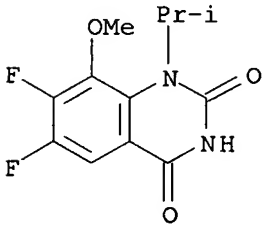


RN 860768-89-4 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-difluoro-8-methyl-1-phenyl- (9CI) (CA INDEX NAME)

10/ 530,897a



RN 860768-90-7 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-difluoro-8-methoxy-1-(1-methylethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:472150 ZCAPLUS
DOCUMENT NUMBER: 143:26626
TITLE: Preparation of aminoquinazolidinedione derivatives as antibacterials.
INVENTOR(S): Ellsworth, Edmund Lee; Hoyer, Denton Wade; Hutchings, Kim Marie; Kendall, Jackie Diane; Murphy, Sean Timothy; Starr, Jeremy Tyson; Tran, Tuan Phong
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

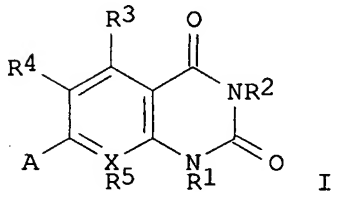
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049605	A1	20050602	WO 2004-IB3645	20041105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2546339	A1	20050602	CA 2004-2546339	20041105
EP 1687296	A1	20060809	EP 2004-798793	20041105

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

BR 2004016708	A	20070116	BR 2004-16708		20041105
JP 2007511597	T	20070510	JP 2006-540639		20041105
PRIORITY APPLN. INFO.:			US 2003-523072P	P	20031118
			US 2004-606442P	P	20040902
			WO 2004-IB3645	W	20041105

OTHER SOURCE(S): CASREACT 143:26626; MARPAT 143:26626

GI



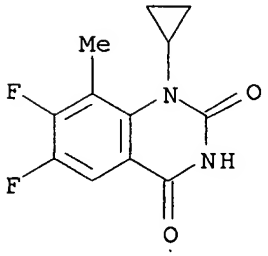
I

AB Title compds. [I; A = specified (fused) cyanoethylaminopyrrolidinyl, etc.; X = N, C; R1 = alkyl, cycloalkyl, haloalkyl, halocycloalkyl, aryl, heteroaryl, cycloalkylalkyl; R2 = H, NH2, NHP(O)(OH)2, alkylamino, cycloalkylamino, arylamino, heteroarylamino, etc.; R3-R5 = H, halo, amino, alkyl, haloalkyl, alkoxy, haloalkoxy, cyano; R1R5 = atoms to form a 5-6 membered (substituted) ring], were prepared Thus, 3-amino-3-pyrrolidin-3-ylpropionitrile, 3-amino-1-cyclopropyl-6,7-difluoro-8-methyl-1H-quinazoline-2,4-dione, and 1,1,3,3-tetramethylguanidine were heated together at 90° overnight to give 37% 3-amino-3-[1-(1-cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)pyrrolidin-3-yl]propionitrile. The latter showed a min. inhibitory concentration of 2 μg/mL against H. influenzae HI-3542.

IT 351368-09-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminoquinazolidinediones as antibacterials)

RN 351368-09-7 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:129225 ZCAPLUS

DOCUMENT NUMBER: 142:373764

TITLE: Fluorinated heterocyclic compounds. A photochemical

10/ 530,897a

approach to a synthesis of polyfluoroaryl-1,2,4-triazoles

AUTHOR(S): Buscemi, Silvestre; Pace, Andrea; Piccionello, Antonio Palumbo; Pibiri, Ivana; Vivona, Nicolo

CORPORATE SOURCE: Dipartimento di Chimica Organica "E. Paterno", Universita degli Studi di Palermo, Palermo, I-90128, Italy

SOURCE: Heterocycles (2005), 65(2), 387-394
CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:373764

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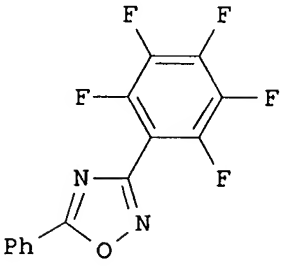

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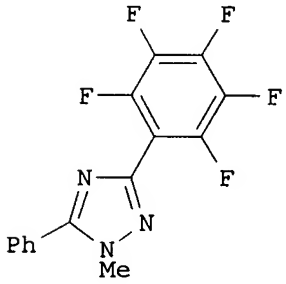
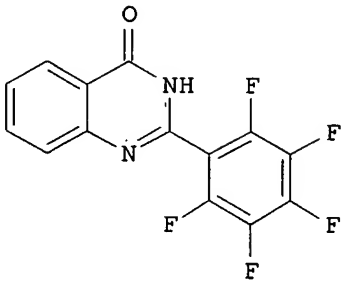


II

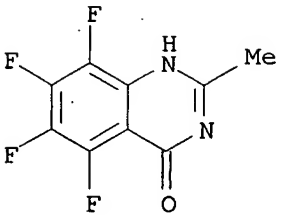


III

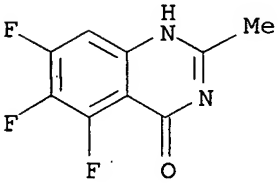
AB The reaction of some fluorinated 1,2,4-oxadiazoles in the presence of methylamine or propylamine has been investigated. The irradiation in methanol or acetonitrile leads with acceptable yields to the corresponding fluorinated 1-methyl- or 1-propyl-1,2,4-triazole. For example, the photochem. reaction of 3-(pentafluorophenyl)-5-phenyl-1,2,4-oxadiazole (I) with methanamine gave a triazole derivative (II) and a quinazolinone (III).

IT 740817-52-1P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of tri(fluoro)(methyl)quinazolinone derivative by photochem. reaction of (methyl)[tetra(fluoro)phenyl]-1,2,4-oxadiazole with methanamine)

RN 740817-52-1 ZCAPLUS
CN 4(1H)-Quinazolinone, 5,6,7,8-tetrafluoro-2-methyl- (9CI) (CA INDEX NAME)



IT 740817-53-2P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of tri(fluoro)(methyl)quinazolinone derivative by photochem. reaction of [tri(fluoro)phenyl](phenyl)-1,2,4-oxadiazole with methanamine)
RN 740817-53-2 ZCAPLUS
CN 4(1H)-Quinazolinone, 5,6,7-trifluoro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:857578 ZCAPLUS
DOCUMENT NUMBER: 141:350189
TITLE: Preparation of novel quinazolines as MCH receptor antagonists
INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Arena Pharmaceuticals Inc.
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087680	A1	20041014	WO 2004-JP4554	20040330

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,

SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1611109	A1	20060104	EP 2004-724424	20040330
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1795180	A	20060628	CN 2004-80014638	20040330
JP 2006522109	T	20060928	JP 2006-507700	20040330
US 2007010671	A1	20070111	US 2006-551431	20060824
PRIORITY APPLN. INFO.:			US 2003-458424P P	20030331
			WO 2004-JP4554 W	20040330

OTHER SOURCE(S): MARPAT 141:350189

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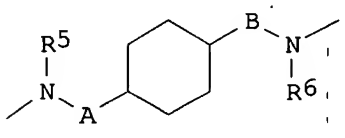

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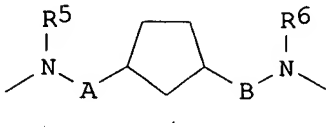


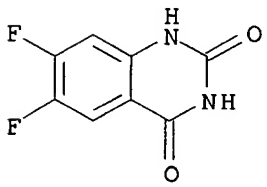
II

AB The title compds. QLYR1 [I; Q = (un)substituted 2-quinazolinyl; R1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; L = II, III (wherein R5, R6 = H, alkyl; A, B = a bond, CH2, (CH2)2), etc.; Y = (un)substituted CONH, CSNH, C(O)O, SO2, etc.] which act as MCH receptor antagonists, were prepared E.g., a multi-step synthesis of 1-(3,4-dimethoxyphenyl)-3-[cis-4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]-urea hydrochloride (starting from quinazoline-2,4-dione) which showed IC50 of 13 nM against MCH receptor binding, was given. The compds. I are useful in pharmaceutical compns. (claimed) which use includes prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders and dyskinesias including Parkinson's disease, epilepsy, and addiction.

IT 769158-54-5P, 6,7-Difluoro-1H-quinazoline-2,4-dione
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel quinazolines as MCH receptor antagonists)

RN 769158-54-5 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6,7-difluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 52 ZCAPLUS

ACCESSION NUMBER: 2004:857326 ZCAPLUS
DOCUMENT NUMBER: 141:309639
TITLE: Dipeptidyl peptidase inhibitors
INVENTOR(S): Feng, Jun; Gwaltney, Stephen L.; Kaldor, Stephen W.; Stafford, Jeffrey A.; Wallace, Michael B.; Zhang, Zhiyuan
PATENT ASSIGNEE(S): Syrrx, Inc., USA
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087053	A2	20041014	WO 2004-US9217	20040324
WO 2004087053	A9	20041111		
WO 2004087053	A3	20060831		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2518465	A1	20041014	CA 2004-2518465	20040324
US 2004242568	A1	20041202	US 2004-809636	20040324
US 2004242566	A1	20041202	US 2004-809638	20040324
US 2004259870	A1	20041223	US 2004-809637	20040324
US 2005004117	A1	20050106	US 2004-809635	20040324
EP 1608317	A2	20051228	EP 2004-758366	20040324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1894234	A	20070110	CN 2004-80011900	20040324

PRIORITY APPLN. INFO.: US 2003-457785P P 20030325
WO 2004-US9217 W 20040324

OTHER SOURCE(S): MARPAT 141:309639
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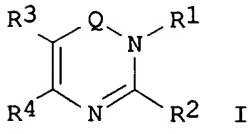


I

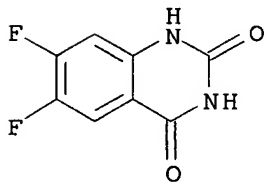
AB Dipeptidyl peptidase IV inhibitors I [Q = CO, SO, SO2, C:NR5; R1 = ZR6; Z = moiety providing 1-6 atom separation between R6 and ring; R2 = (substituted)3-7-membered ring; R3,R4 = taken together form a (substituted)5-6-membered ring; R5 = H, (substituted)alkyl, cycloalkyl, etc.; R6 = (substituted)C3-7-cycloalkyl or aryl] are disclosed. Thus, 2-[2-(3-aminopiperidin-1-yl)-6,7-dimethoxy-4-oxo-4H-quinazolin-3-ylmethyl]benzonitrile (I; R1 = 2-cyanophenylmethyl; R2 =

3-aminopiperidin-1-yl; R3,R4 = dimethoxyphenyl) was synthesized. This compound exhibited enhanced stability in rat liver microsomes.

IT 769158-54-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(dipeptidyl peptidase inhibitors)

RN 769158-54-5 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6,7-difluoro- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:626167 ZCAPLUS

DOCUMENT NUMBER: 141:295972

TITLE: Synthesis and structural-activity relationships of 3-hydroxyquinazoline-2,4-dione antibacterial agents

AUTHOR(S): Tran, Tuan P.; Ellsworth, Edmund L.; Stier, Michael A.; Domagala, John M.; Showalter, H. D. Hollis; Gracheck, Stephen J.; Shapiro, Martin A.; Joannides, Themis E.; Singh, Rajeshwar

CORPORATE SOURCE: Department of Chemistry, Pfizer Global Research and Development, Ann Arbor Laboratories, Ann Arbor, MI, 48105, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(17), 4405-4409
CODEN: BMCLE8; ISSN: 0960-894X

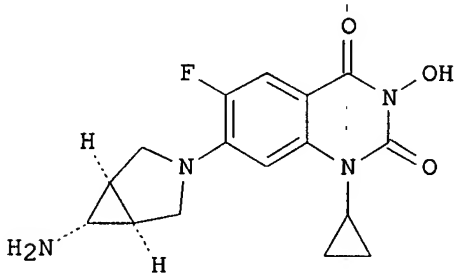
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:295972

GI



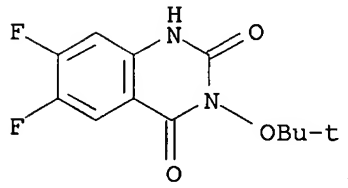
I

AB A series of 3-hydroxyquinazoline-2,4-diones, e.g., I, was synthesized and evaluated for antibacterial activity. This series represents an addition to the DNA gyrate inhibitor class of antibacterials. Appropriated substitution onto the core template yielded compds. with excellent potency against E. coli gyrate and significant in vitro Gram-neg. and Gram-pos. antibacterial activity.

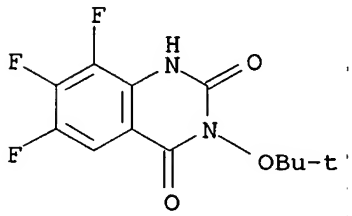
IT 761403-82-1P 761403-83-2P 761403-84-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, antibacterial activity, and structure-activity relationship of hydroxyquinazolinediones via amidation of aminobenzoic acids with t-Bu hydroxylamine followed by heterocyclization, N-alkylation, substitution, and hydrolysis)

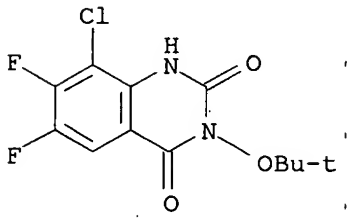
RN 761403-82-1 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(1,1-dimethylethoxy)-6,7-difluoro- (9CI) (CA INDEX NAME)



RN 761403-83-2 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(1,1-dimethylethoxy)-6,7,8-trifluoro- (9CI) (CA INDEX NAME)



RN 761403-84-3 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 8-chloro-3-(1,1-dimethylethoxy)-6,7-difluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

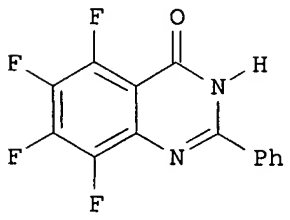
L4 ANSWER 11 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:555891 ZCAPLUS
DOCUMENT NUMBER: 141:190754
TITLE: Fluorinated heterocyclic compounds. A photochemical approach to a synthesis of fluorinated quinazolin-4-ones
AUTHOR(S): Buscemi, Silvestre; Pace, Andrea; Piccionello, Antonio Palumbo; Pibiri, Ivana; Vivona, Nicolo

10/ 530,897a

CORPORATE SOURCE: Dipartimento di Chimica Organica "E. Paterno", Universita degli Studi di Palermo, Palermo, 1-90128, Italy

SOURCE: Heterocycles (2004), 63(7), 1619-1628
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:190754

GI

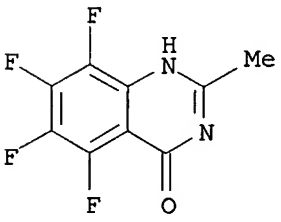


I

AB An efficient and generalized photochem. methodol. for the preparation of fluorinated quinazolin-4-ones, e.g., I, is described. Depending on the starting substrate, quinazolin-4-ones bearing a perfluoroalkyl- or perfluoroaryl-substituent in position 2 or fluorine atoms on any positions of the benzo-fused moiety can easily be obtained. 5-Aryl-3-perfluoroalkylpentafluorophenyl- or 5-polyfluoroaryl-3-phenyl(methyl)-1,2,4-oxadiazoles, resp., can be considered as ideal precursors that can be transformed into the target quinazolin-4-ones by irradiation in the presence of triethylamine (TEA) (at λ = 313 nm) or pyrene (at λ = 365 nm) in dry methanol or acetonitrile as solvent. Some mechanistic considerations confirm the involvement of a photoinduced electron transfer process.

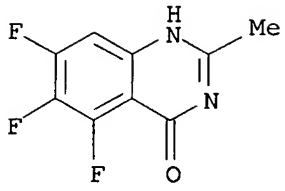
IT 740817-52-1P 740817-53-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(photochem. preparation of fluorinated quinazolinones via rearrangement of fluorinated aryloxadiazoles and the energy level of the singlet excited state of fluorinated aryloxadiazoles)

RN 740817-52-1 ZCAPLUS
CN 4(1H)-Quinazolinone, 5,6,7,8-tetrafluoro-2-methyl- (9CI) (CA INDEX NAME)



RN 740817-53-2 ZCAPLUS
CN 4(1H)-Quinazolinone, 5,6,7-trifluoro-2-methyl- (9CI) (CA INDEX NAME)

10/ 530,897a



L4 ANSWER 12 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:333702 ZCAPLUS
DOCUMENT NUMBER: 140:357365
TITLE: Preparation of quinazolinones as anti-hyperalgesic agents
INVENTOR(S): Culshaw, Andrew James; Dziadulewicz, Edward Karol; Hallett, Allan; Hart, Terance William
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033435	A1	20040422	WO 2003-EP11276	20031010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2501529	A1	20040422	CA 2003-2501529	20031010
AU 2003273989	A1	20040504	AU 2003-273989	20031010
EP 1554257	A1	20050720	EP 2003-757959	20031010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014557	A	20050809	BR 2003-14557	20031010
CN 1711249	A	20051221	CN 2003-80102932	20031010
JP 2006503875	T	20060202	JP 2004-542493	20031010
US 2006154942	A1	20060713	US 2006-530897	20060309 — PG Pub

PRIORITY APPLN. INFO.: GB 2002-23730 A 20021011
WO 2003-EP11276 W 20031010

OTHER SOURCE(S): MARPAT 140:357365
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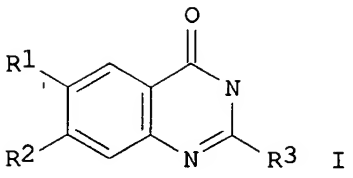

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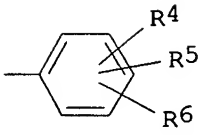

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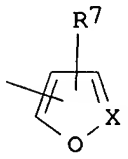
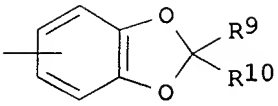


III



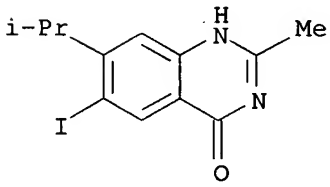
IV

AB The title compds. [I; R1 = halo, II-IV; X = N, CR8; R2 = halo, NO2, alkylcarbonyl, alkyl, cycloalkyl; R3 = alkyl, alkoxy, NH2; R4 = H, halo, OH, etc.; R5, R6 = H, halo, alkoxy, alkyl; R7, R8 = H, alkyl; R9, R10 = H, halo] which exhibit human vanilloid antagonistic activity, were prepared and formulated. Thus, a multi-step synthesis of 6-(4-chloro-3-cyclopropylmethoxyphenyl)-7-isopropyl-2-methyl-3H-quinazolin-4-one, starting from 2-nitro-4-cymene, was given. The compds. I effectively block Ca-uptake in the range from about 1 nM to about 10 μM in fluorescence assay using CHO cells expressing human VR1 ion channels.

IT 681292-07-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinones as anti-hyperalgesic agents)

RN 681292-07-9 ZCAPLUS

CN 4(1H)-Quinazolinone, 6-iodo-2-methyl-7-(1-methylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:303320 ZCAPLUS

DOCUMENT NUMBER: 141:54287

TITLE: 3-Hydroxy-quinazoline-2,4-dione as a useful scaffold to obtain selective Gly/NMDA and AMPA receptor antagonists

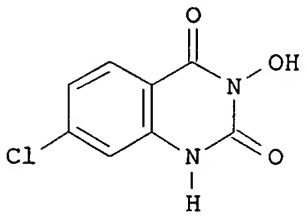
AUTHOR(S): Colotta, Vittoria; Catarzi, Daniela; Varano, Flavia; Calabri, Francesca Romana; Filacchioni, Guido; Costagli, Chiara; Galli, Alessandro

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita degli Studi di Firenze, Sesto Fiorentino, Italy

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(9), 2345-2349
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:54287

GI



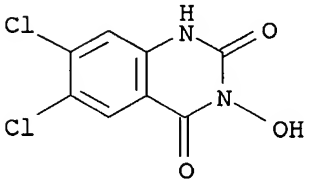
I

AB The synthesis and Gly/NMDA, AMPA and KA receptor binding activities of some 3-hydroxy-quinazoline-2,4-dione derivs., e.g., I, are reported. The binding data, together with functional antagonism studies, showed that the 3-hydroxy-quinazoline-2,4-dione moiety can be considered a useful scaffold to obtain selective Gly/NMDA and AMPA receptor antagonists. In fact, introduction of chlorine atom(s) on precise position(s) of the benzofused moiety yielded Gly/NMDA selective antagonists, while the presence of the 6-(1,2,4-triazol-4-yl) group shifted the affinity and selectivity towards the AMPA receptor.

IT 705977-22-6P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, binding affinity, and structure-activity relationship of hydroxyquinazolinediones as Gly/NMDA and AMPA receptor antagonists)

RN 705977-22-6 ZCAPLUS

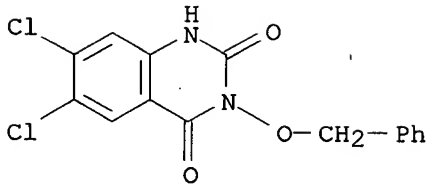
CN 2,4(1H,3H)-Quinazolinedione, 6,7-dichloro-3-hydroxy- (9CI) (CA INDEX NAME)



IT 705977-19-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, binding affinity, and structure-activity relationship of hydroxyquinazolinediones as Gly/NMDA and AMPA receptor antagonists)

RN 705977-19-1 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6,7-dichloro-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:837076 ZCAPLUS
DOCUMENT NUMBER: 139:337970
TITLE: Preparation of piperidine derivatives as CCR3 antagonists
INVENTOR(S): Matsumoto, Yoshiyuki; Imai, Minoru; Sawai, Yoshiyuki; Takeuchi, Susumu; Nakanishi, Akinobu; Minamizono, Kunio; Yokoyama, Tomonori
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: PCT Int. Appl., 564 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087089	A1	20031023	WO 2003-JP4841	20030416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484261	A1	20031023	CA 2003-2484261	20030416
AU 2003231359	A1	20031027	AU 2003-231359	20030416
EP 1502916	A1	20050202	EP 2003-725592	20030416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1659161	A	20050824	CN 2003-813754	20030416
US 2007032525	A1	20070208	US 2004-511174	20041015

PRIORITY APPLN. INFO.:

JP 2002-113220	A	20020416
JP 2002-240509	A	20020821
WO 2003-JP4841	W	20030416

OTHER SOURCE(S): MARPAT 139:337970
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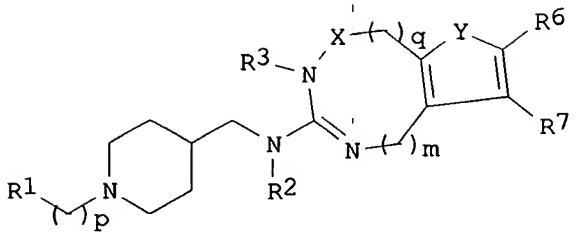

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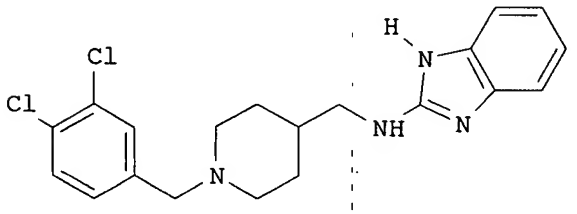


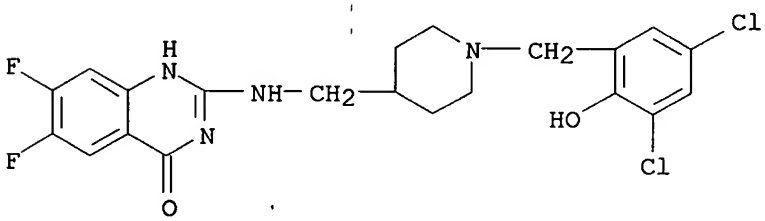
II

AB The title piperidine derivs. having a benzimidazole subunit with general formula of I [wherein R1 = cycloalkyl, aromatic heterocyclyl, or (un)substituted Ph, etc.; p = 0-6; R2 and R3 = independently H, (un)substituted alkyl, or Ph; X = CO, SO2, CH2, CS, or a single bond; q = 0 or 1; m = 0 or 1; Y = S, -CR4=CR5-, or (un)substituted -NH-; R4-R7 = independently H, halo, OH, CN, NO2, CO2H, alkyl, cycloalkyl, alkenyl, alkoxy, alkylthio, alkylene, alkyleneoxy, alkylenedioxy, Ph, PhO, PhS, PhSO2, PhCH2, PhCH2O, PhCONH, CHO, alkanoyl(oxy), alkoxy-CO, (cyclo)alkanoylamino, alkenoylamino, alkyl-SO2, alkyl-SO2NH, alkoxy-CO-CH2, pyridyl-CO, morpholyl-CO, pyrrolidinyl-CO, piperazinyl-CO, ureido, thioureido, (un)substituted NH2, carbamoyl, or SO2NH, etc.] and pharmaceutically acceptable salts, or alkyl adducts thereof are prepared as chemokine receptor 3 (CCR3) antagonists. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I showed >80% inhibitory activity at the concentration of 2 μM against CCR3. I are useful for the treatment of diseases in which CCR3 participates such as asthma and allergic nephritis, etc. (no data).

IT 616223-07-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine derivs. as CCR3 antagonists)

RN 616223-07-5 ZCAPLUS

CN 4(1H)-Quinazolinone, 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-piperidinyl]methyl]amino]-6,7-difluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

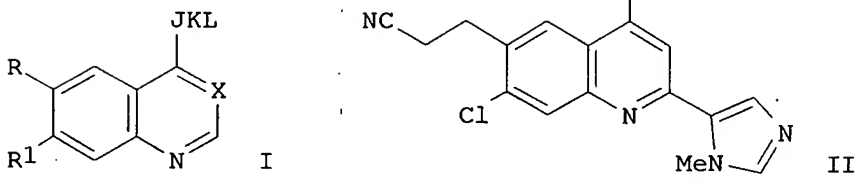
10/ 530,897a

ACCESSION NUMBER: 2003:454316 ZCAPLUS
DOCUMENT NUMBER: 139:36536
TITLE: Preparation of quinoline and quinazoline derivatives as inflammation modulators
INVENTOR(S): Cushing, Timothy D.; He, Xiao; Smith, Marie-Louise; Degraffenreid, Michael R.; Powers, Jay; Tomooka, Craig S.; Clark, David L.; Hao, Xiaolin; Jaen, Juan C.; Labelle, Marc; Walker, Nigel P. C.; Gill, Adrian L.; Talamas, Francisco X.; Labadie, Sharada S.
PATENT ASSIGNEE(S): Tularik Inc., USA; F. Hoffmann-La Roche AG
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048152	A2	20030612	WO 2002-US39134	20021204
WO 2003048152	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002365611	A1	20030617	AU 2002-365611	20021204
US 2003181472	A1	20030925	US 2002-314428	20021204
US 7176314	B2	20070213		

PRIORITY APPLN. INFO.: US 2001-337460P P 20011205
WO 2002-US39134 W 20021204

OTHER SOURCE(S): MARPAT 139:36536
GI

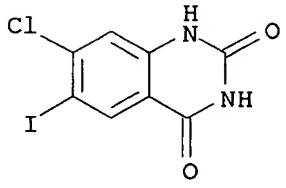


AB Title compds. I [X = N, (un)substituted CH; J = alkylene, alkenylene, alkynylene, CO, C:S, (un)substituted C:NH, NH, CONH, CSNH, C(:NH)NH, CH:N, O, S, S(O), SO2, alkylenamino, alkylenoxy; K = bond, alkylene, CO, CS, O,

S, S(O), SO2, (un)substituted C:NH, NH; L = H, (un)substituted OH, alkyl, heteroalkyl, aryl, heteroaryl, NH2, acyl, thioacyl, CH:NH, carbamoyl, thiocarbamoyl, CO2H; JK, JL, KL = heterocyclic; B = 5-6-membered heteroarom.; R, R1 = H, halogen, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, alkylthio, NH2, cycloalkyl, heterocyclic, CN, NO2, acyl, alkoxycarbonyl, CONH2, SO2NH2] were prepared for use in the treatment of inflammatory, immunoregulatory, metabolic and cell proliferative conditions or diseases. Thus, 5-chloroisatin was iodinated, cyclized with 5-acetyl-1-methyl-2-tert.-butyldimethylsilylimdazole, substituted with CH2:CHCN, reduced, and treated with 4-methylpyridine to give the quinoline II. I had IC50 ≤ 30 μM for inhibition of IKKβ.

IT 540501-06-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoline and quinazoline derivs. as inflammation modulators)

RN 540501-06-2 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-6-iodo- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:356418 ZCAPLUS
DOCUMENT NUMBER: 138:368761
TITLE: Preparation of indole derivatives as inhibitors of human liver glycogen phosphorylase a
INVENTOR(S): Nakamura, Takeshi; Takagi, Masaki; Ueda, Nobuhisa
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

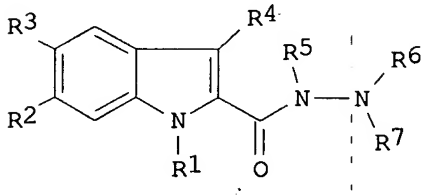
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037864	A1	20030508	WO 2002-JP11234	20021029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465382	A1	20030508	CA 2002-2465382	20021029
JP 2003201279	A	20030718	JP 2002-315100	20021029
EP 1452526	A1	20040901	EP 2002-777995	20021029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE,. SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

US 2005054696	A1	20050310	US 2004-493853	20041021
PRIORITY APPLN. INFO.:			JP 2001-331501	A 20011029
			WO 2002-JP11234	W 20021029

OTHER SOURCE(S): MARPAT 138:368761

GI



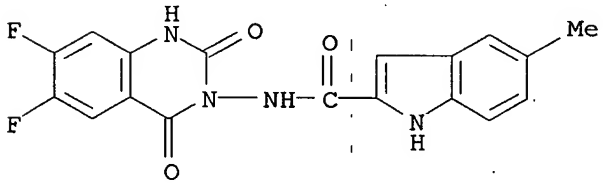
I

AB The title compds. I [R1 = H, alkyl, etc.; R2 = H, halo; R3 = halo, alkyl, etc.; R4 = H, alkyl; R5 = H, alkyl, alkoxycarbonyl; R6 = H, alkyl, etc.; R7 = C(:X)AB; X = O, etc.; A = NR8, etc.; R8 = H, alkyl, etc.; B = (un)substituted Ph, etc.] are prepared I are useful in the treatment of diabetes. Compds. of this invention in vitro showed IC50 values of 0.010 μM to > 0.1 μM against human liver glycogen phosphorylase a.

IT 521962-28-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as inhibitors of human liver glycogen phosphorylase a)

RN 521962-28-7 ZCAPLUS

CN 1H-Indole-2-carboxamide, N-(6,7-difluoro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-5-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2002:977807 ZCAPLUS
DOCUMENT NUMBER:	138:55976
TITLE:	Preparation of quinazolinediones as antibacterial agents for quinolone-resistant bacteria
INVENTOR(S):	Ellsworth, Edmund Lee; Showalter, Howard Daniel Hollis; Powell, Sharon Anne; Sanchez, Joseph Peter; Kerschen, James Alan; Stier, Michael Andrew; Tran, Tuan Phong
PATENT ASSIGNEE(S):	Warner-Lambert Company, USA
SOURCE:	PCT Int. Appl., 341 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

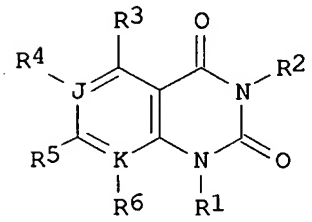
10/ 530,897a

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102793	A2	20021227	WO 2002-IB1768	20020513
WO 2002102793	A3	20030410		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446963	A1	20021227	CA 2002-2446963	20020513
AU 2002302894	A1	20030102	AU 2002-302894	20020513
EP 1401830	A2	20040331	EP 2002-730582	20020513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010028	A	20040622	BR 2002-10028	20020513
JP 2005501021	T	20050113	JP 2003-506266	20020513
US 2003114666	A1	20030619	US 2002-174302	20020618
PRIORITY APPLN. INFO.:			US 2001-299249P P	20010619
			US 2002-369332P P	20020403
			WO 2002-IB1768 W	20020513

OTHER SOURCE(S): MARPAT 138:55976

GI



I

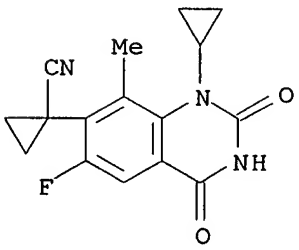
AB The present invention provides quinazolinediones (shown as I; variables described below; e.g. 7-[(R)-3-((S)-1-aminoethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-5,8-dimethyl-1H-quinazolinedione hydrochloride) and pharmaceutically acceptable salt thereof, that are useful as antibacterial agents. Also disclosed are pharmaceutical compns. comprising ≥1 I, processes for preparing I, and intermediates useful for I. For I: R1 is H, C1-C7 (un)substituted alkyl, C2-C7 (un)substituted alkenyl, C2-C7 (un)substituted alkynyl, C3-C7 (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heterocyclic, or (un)substituted heteroaryl. R2 is H, C(O)Rc, CO2Rc, C(O)NRc (Rc = C1-C7 (un)substituted alkyl, C2-C7 (un)substituted alkenyl, C3-C7 (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocycloalkyl). R3, R4, and R6 independently = H, OH, (O)nC1-C7 (un)substituted alkyl, (O)nC2-C7 (un)substituted alkenyl, (O)nC2-C7 (un)substituted alkynyl (n = 0, 1), halo, NO2, CN, NRaRb (Ra and Rb independently = H, C1-C7 (un)substituted alkyl, C2-C7 (un)substituted alkenyl, C2-C7 (un)substituted alkynyl, C3-C7 (un)substituted cycloalkyl, C5-C8

(un)substituted cycloalkenyl, (un)substituted aryl, CO2Rc, C(O)SRc, C(O)Rc; C(O)NRdRe (Rd and Re independently = H, C1-C7 (un)substituted alkyl, C2-C7 (un)substituted alkenyl, C3-C7 (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocycloalkyl), (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocycloalkyl, or Ra and Rb taken together with the N to which they are attached form a 4-8 membered ring having 0-3 heteroatoms = N, O, and S, wherein said ring is optionally substituted by ≥1 substituents). R1 and R6 taken together with the atoms to which they are attached form a 5-8 membered ring having 0-3 heteroatoms = N, O, and S, wherein said ring is optionally substituted by ≥1 substituents. R5 is H, C1-C7 (un)substituted alkyl, C2-C7 (un)substituted alkenyl, C2-C7 (un)substituted alkynyl, ORc, C(O)Rc, OC(O)Rc, OCO2Rc, CO2Rc, C(O)SRc, SRc, S(O)Rc, SO2Rc, SO3Rc, SO2F, SO2CF3, C(O)NRdRe, halo, NO2, CN, NRaRb, (un)fused aryl, (un)fused heterocyclic, (un)fused heteroaryl, bicyclic heterocyclic or spiro heterocyclic, wherein fused aryl, fused heterocyclic, fused heteroaryl, bicyclic heterocyclic, or spiro heterocyclic can be substituted; and wherein J and K independently are C or N, provided that when J or K is N, R4 or R6 is absent at that position. Results of antibacterial assays for 10 I are tabulated for several gram neg. and gram pos. bacteria and for E. coli gyrase and compared to results for Ciprofloxacin. In vivo (mouse) median protective doses of 1-cyclopropyl-6-fluoro-8-methyl-7-[(R)-3-((S)-1-methylaminoethyl)pyrrolidin-1-yl]-1H-quinazolinedione and 7-[(R)-3-((S)-1-aminoethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methyl-1H-quinazolinedione hydrochloride (1) against S. pyogenes are 10.8 and 3.6 mg/kg compared to >100 mg/kg for Ciprofloxacin. Results for antibacterial activity of 3 I against several Ciprofloxacin-resistant E. coli and S. aureus organisms are tabulated. Comparative pharmacokinetic behavior of a quinazolinedione (1) and a 3-aminoquinazolinedione in rats, dogs and monkeys are tabulated. .apprx.70 Example prepns. are included. For example, 1-cyclopropyl-6-fluoro-8-methyl-7-[(R)-3-((S)-1-methylaminoethyl)pyrrolidin-1-yl]-1H-quinazolinedione was prepared from 1-cyclopropyl-6,7-difluoro-8-methyl-1H-quinazolinedione (0.79 mmol) and methyl[(R)-(S)-1-pyrrolidinyl-3-ylethyl]amine (2.4 mmol) in DMSO at 80° for 6 h.

IT 479081-69-1P, 1-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)cyclopropanecarbonitrile
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of quinazolinediones as antibacterial agents for quinolone-resistant bacteria)

RN 479081-69-1 ZCAPLUS

CN Cyclopropanecarbonitrile, 1-(1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-8-methyl-2,4-dioxo-7-quinazolinyl)- (9CI) (CA INDEX NAME)

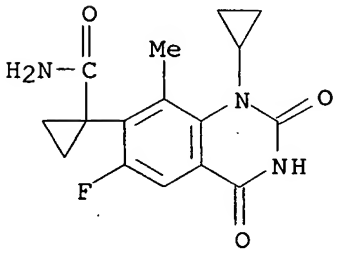


IT 479081-70-4P, 1-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)cyclopropanecarboxylic acid amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolinediones as antibacterial agents for quinolone-resistant bacteria)

RN 479081-70-4 ZCAPLUS

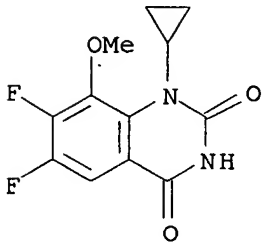
CN Cyclopropanecarboxamide, 1-(1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-8-methyl-2,4-dioxo-7-quinazolinyl)- (9CI) (CA INDEX NAME)



IT 351367-87-8, 1-Cyclopropyl-6,7-difluoro-8-methoxy-1H-quinazoline-2,4-dione 351368-09-7, 1-Cyclopropyl-6,7-difluoro-8-methyl-1H-quinazoline-2,4-dione
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolinediones as antibacterial agents for quinolone-resistant bacteria)

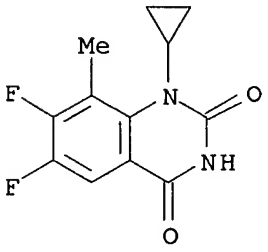
RN 351367-87-8 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methoxy- (9CI) (CA INDEX NAME)



RN 351368-09-7 ZCAPLUS

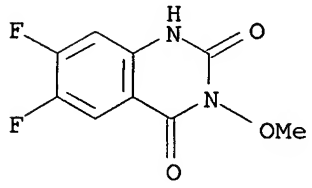
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methyl- (CA INDEX NAME)



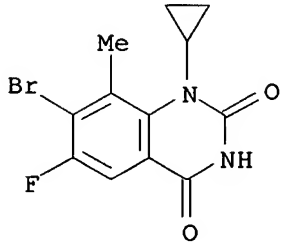
IT 479089-76-4P, 6,7-Difluoro-3-methoxy-1H-quinazoline-2,4-dione 479090-36-3P, 7-Bromo-1-cyclopropyl-6-fluoro-8-methyl-1H-

quinazoline-2,4-dione 479090-53-4P, 1-Cyclopropyl-6-fluoro-7-iodo-8-methyl-1H-quinazoline-2,4-dione 479090-87-4P, 1-Cyclopropyl-6,7-difluoro-8-fluoromethoxy-1H-quinazoline-2,4-dione 479090-90-9P, 1-Cyclopropyl-8-difluoromethyl-6,7-difluoro-1H-quinazoline-2,4-dione 479090-95-4P, 1-Cyclopropyl-8-difluoromethoxy-6,7-difluoro-1H-quinazoline-2,4-dione 479090-99-8P, 1-Cyclopropyl-6,7-difluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479091-31-1P, 1-Cyclopropyl-6,7-difluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinediones as antibacterial agents for quinolone-resistant bacteria)

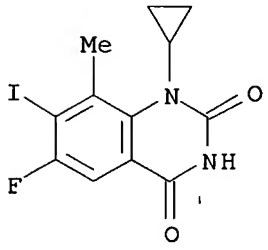
RN 479089-76-4 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-difluoro-3-methoxy- (9CI) (CA INDEX NAME)



RN 479090-36-3 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-bromo-1-cyclopropyl-6-fluoro-8-methyl- (9CI) (CA INDEX NAME)

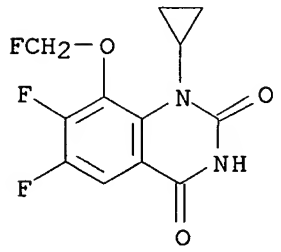


RN 479090-53-4 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6-fluoro-7-iodo-8-methyl- (9CI) (CA INDEX NAME)

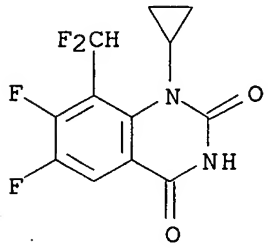


RN 479090-87-4 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-(fluoromethoxy)-

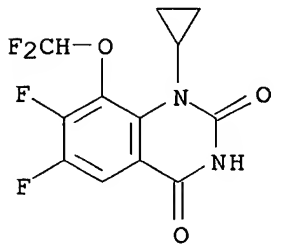
(9CI) (CA INDEX NAME)



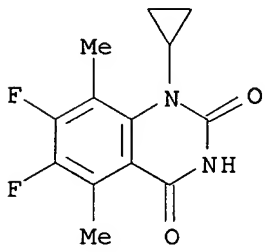
RN 479090-90-9 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-8-(difluoromethyl)-6,7-difluoro- (9CI) (CA INDEX NAME)



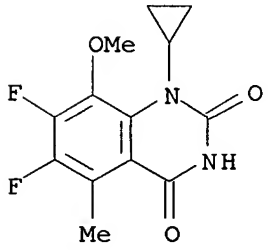
RN 479090-95-4 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-8-(difluoromethoxy)-6,7-difluoro- (9CI) (CA INDEX NAME)



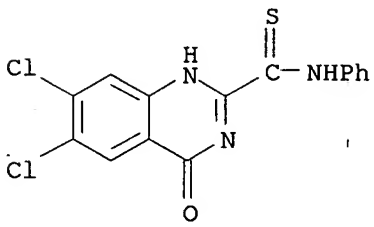
RN 479090-99-8 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-5,8-dimethyl- (9CI) (CA INDEX NAME)



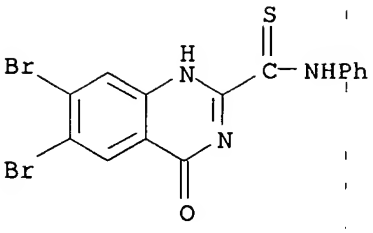
RN 479091-31-1 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methoxy-5-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:415032 ZCAPLUS
DOCUMENT NUMBER: 137:325383
TITLE: A comparative study of the behavior of cyanothioformamide and oxazolidine (thiones or iminothiones) towards some binucleophiles
AUTHOR(S): El-Sharief, A. M. Sh.; Ammar, Y. A.; Mohamed, Y. A.; El-Gaby, M. S. A.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Al-Azhar University, Cairo, Egypt
SOURCE: Heteroatom Chemistry (2002), 13(4), 291-298
CODEN: HETCE8; ISSN: 1042-7163
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:325383
AB Reactions of cyanothioformamides with o-phenylenediamines, o-aminophenol, and anthranilic acids furnished benzimidazole, benzoxazole, and quinazoline derivs., resp. Oxazolidinones or oxazolidinethiones were reacted with the same binucleophiles to produce quinoxaline, benzimidazole, and quinazoline derivs.
IT 473463-90-0P 473463-91-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of cyanothioformamides, oxazolidinethiones, and iminooxazolidinethiones with binucleophiles)
RN 473463-90-0 ZCAPLUS
CN 2-Quinazolinecarbothioamide, 6,7-dichloro-1,4-dihydro-4-oxo-N-phenyl- (9CI) (CA INDEX NAME)



RN 473463-91-1 ZCAPLUS
CN 2-Quinazolinecarbothioamide, 6,7-dibromo-1,4-dihydro-4-oxo-N-phenyl- (9CI) (CA INDEX NAME)



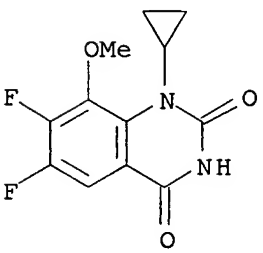
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:227358 ZCAPLUS
DOCUMENT NUMBER: 136:386091
TITLE: Electrophilic N-Amination of Two Quinazoline-2,4-diones Using Substituted (Nitrophenyl)hydroxylamines
AUTHOR(S): Boyles, David C.; Curran, Timothy T.; Parlett, Roger V., IV; Davis, Mark; Mauro, Frank
CORPORATE SOURCE: Chemical Research and Development, Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA
SOURCE: Organic Process Research & Development (2002), 6(3), 230-233
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:386091

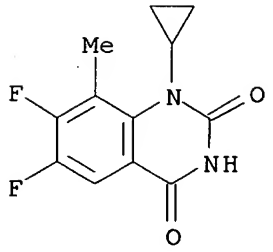
AB The preparation of a few (nitrophenyl)hydroxylamines and reaction with two quinazoline-2,4-diones is described. The electrophilic aminating agents were assessed in terms of yield for the N-amination of two quinazoline-2,4-diones and safety considerations for rapid scale-up. For the amination of the described system, the best yield and the highest onset temperature were found in the same aminating agent, specifically, (4-nitrophenyl)hydroxylamine.

IT 351367-87-8 351368-09-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(electrophilic N-amination of two quinazoline-2,4-diones using substituted (nitrophenyl)hydroxylamines)

RN 351367-87-8 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methoxy- (9CI) (CA INDEX NAME)



RN 351368-09-7 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methyl- (CA INDEX NAME)

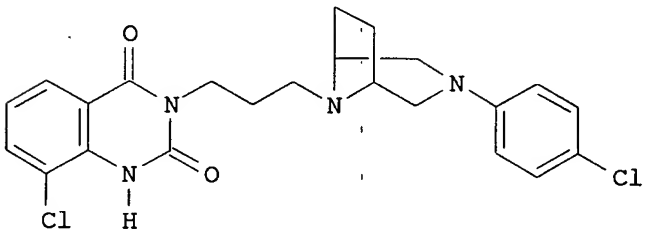


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:104660 ZCAPLUS
DOCUMENT NUMBER: 136:151174
TITLE: Preparation of 3-[(arylazabicycloalkyl)alkyl]quinazoline-2,4-diones as serotonin reuptake inhibitors and 5-HT2A receptor antagonists
INVENTOR(S): Butler, Todd William; Fliri, Anton Franz Josef; Gallaschun, Randall Jemes
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1178048	A1	20020206	EP 2001-306629	20010802
EP 1178048	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2354606	A1	20020203	CA 2001-2354606	20010801
CA 2354606	C	20051206		
US 2002052355	A1	20020502	US 2001-920500	20010801
US 6552015	B2	20030422		
AT 297929	T	20050715	AT 2001-306629	20010802
ES 2241752	T3	20051101	ES 2001-1306629	20010802
BR 2001003210	A	20020326	BR 2001-3210	20010803
JP 2002114789	A	20020416	JP 2001-236982	20010803
JP 3803268	B2	20060802		

PRIORITY APPLN. INFO.: US 2000-222707P P 20000803
OTHER SOURCE(S): MARPAT 136:151174
GI

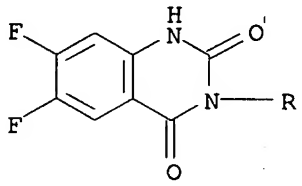


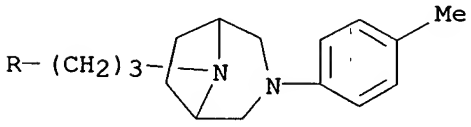
II

AB R(CH2)nZR1 [I; e.g., (un)substituted 2,4-dioxoquinazolin-3-yl; R1 = e.g., (un)substituted Ph; Z = azabicycloalkylene; n = 3 or 4] were prepared Thus, 3,2-Cl(H2N)C6H3CO2H underwent cyclocondensation/cyclization with Cl(CH2)3NCO to give 8-chloro-3,4-dihydro-2H-1-oxa-4a,9-diazaanthracene-10-one which underwent aminative ring opening with 3-(4-chlorophenyl)-3,8-diazabicyclo[3.2.1]octane to give title compound II. Data for biol. activity of I were given.

IT 395064-50-3P 395064-51-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-[(arylazabicycloalkyl)alkyl]quinazoline-2,4-diones as serotonin reuptake inhibitors and 5-HT2A receptor antagonists)

RN 395064-50-3 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-difluoro-3-[3-[3-(4-methylphenyl)-3,8-diazabicyclo[3.2.1]oct-8-yl]propyl]- (9CI) (CA INDEX NAME)

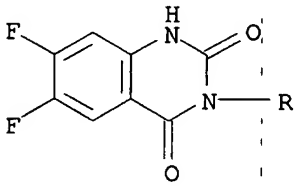


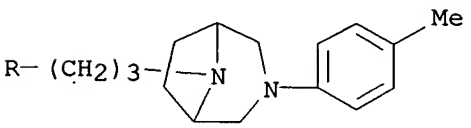


RN 395064-51-4 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-difluoro-3-[3-[3-(4-methylphenyl)-3,8-diazabicyclo[3.2.1]oct-8-yl]propyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 395064-50-3
CMF C24 H26 F2 N4 O2

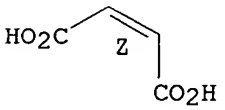




CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

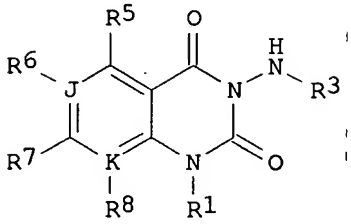
L4 ANSWER 21 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:545673 ZCAPLUS
DOCUMENT NUMBER: 135:122511
TITLE: Preparation of 3-aminoquinazoline-2,4-dione antibacterial agents
INVENTOR(S): Bird, Paul; Ellsworth, Edmund Lee; Nguyen, Dai Quoc; Sanchez, Joseph Peter; Showalter, Howard Daniel Hollis; Singh, Rajeshwar; Stier, Michael Andrew; Tran, Tuan Phong; Watson, Brian Morgan; Yip, Judy
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053273	A1	20010726	WO 2000-US33656	20001212
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393802	A1	20010726	CA 2000-2393802	20001212
BR 2000017010	A	20021105	BR 2000-17010	20001212
EP 1255739	A1	20021113	EP 2000-984246	20001212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200204101	A2	20030428	HU 2002-4101	20001212
JP 2003520277	T	20030702	JP 2001-553275	20001212
EE 200200412	A	20031015	EE 2002-412	20001212
AU 783078	B2	20050922	AU 2001-20899	20001212
IN 2002MN00775	A	20050304	IN 2002-MN775	20020612
ZA 2002005197	A	20031104	ZA 2002-5197	20020627
NO 2002003516	A	20020723	NO 2002-3516	20020723
BG 107023	A	20030430	BG 2002-107023	20020822
US 2006183762	A1	20060817	US 2002-182221	20021209
US 7094780	B1	20060822		
US 2006287308	A1	20061221	US 2006-452121	20060613
PRIORITY APPLN. INFO.:			US 2000-178252P P	20000124

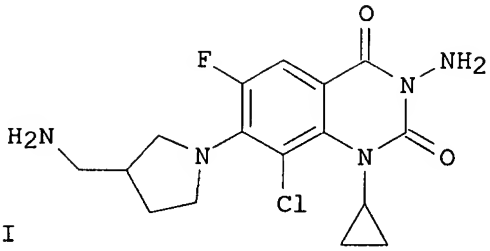
US 2000-241267P P 20001018
WO 2000-US33656 W 20001212
US 2002-182221 A3 20021209

OTHER SOURCE(S): MARPAT 135:122511

GI



I



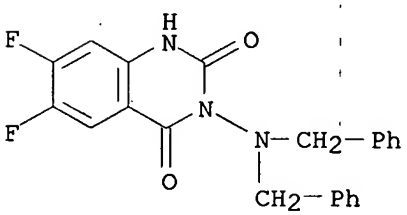
II

AB Title compds. (I) [wherein: R1 and R3 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, or heterocyclic; independently R5, R6, and R8 = H or (un)substituted alkyl, alkenyl, alkynyl, or halo, NO2, CN, NH2, (di)alkylamino, etc.; or R1 and R8 taken together with the atoms to which they are attached may form an (un)substituted heterocycle; R7 = H or (un)substituted alkyl, alkenyl, alkynyl, (fused) heterocyclic, or (fused) aryl, or halo, NO2, CN, NH2, (di)alkylamino, carboxy, etc.; J and K = independently C or N; and pharmaceutically acceptable salts thereof] were prepared as antibacterial agents. For example, N'-{4-[3-(tert-butoxycarbonylaminomethyl)pyrrolidin-1-yl]-2-cyclopropylamino-5-fluorobenzoyl}hydrazinecarboxylic acid tert-Bu ester (multi-step preparation given) was chlorinated with N-chlorosuccinimide, cyclized with triphosgene in the presence of K2CO3, and deprotected using HCl gas to afford II•HCl. In antibacterial assays, II•HCl exhibited min. inhibitory concns. of 0.13-2.0 μg/mL against an assortment of Gram neg. and Gram pos. organisms, as well as ciprofloxacin resistant E. coli and S. aureus strains. In addition, II•HCl inhibited supercoiling activity of DNA gyrase with IC50 of 1.0 μM.

IT 351367-54-9P 351367-81-2P 351367-87-8P
351368-09-7P 351368-15-5P 351368-21-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 3-aminoquinazoline-2,4-dione antibacterial agents via multi-step syntheses involving cyclization of benzoylhydrazinecarboxylates with phosgene)

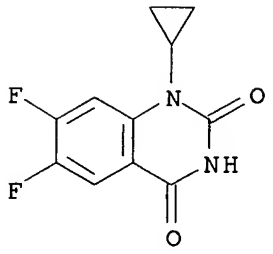
RN 351367-54-9 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[bis(phenylmethyl)amino]-6,7-difluoro- (9CI) (CA INDEX NAME)

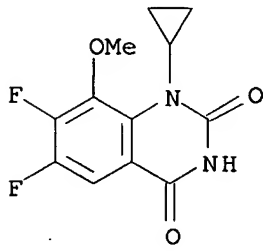


RN 351367-81-2 ZCAPLUS

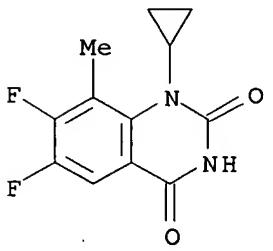
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro- (9CI) (CA INDEX NAME)



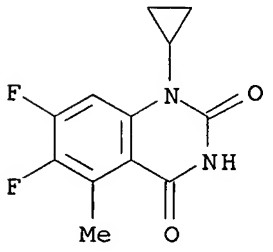
RN 351367-87-8 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methoxy- (9CI) (CA INDEX NAME)



RN 351368-09-7 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methyl- (CA INDEX NAME)

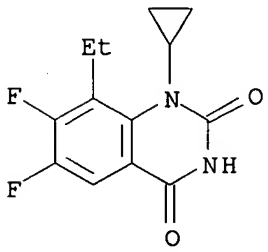


RN 351368-15-5 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-5-methyl- (9CI) (CA INDEX NAME)



10/ 530,897a

RN 351368-21-3 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-8-ethyl-6,7-difluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

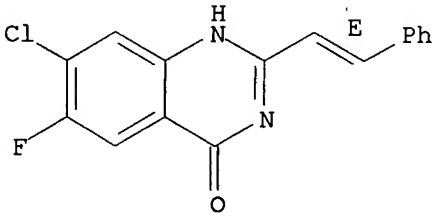
L4 ANSWER 22 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:438306 ZCAPLUS
DOCUMENT NUMBER: 136:210029
TITLE: Evaluation of quinolone derivatives for antitrypanosomal activity
AUTHOR(S): Keiser, J.; Burri, C.
CORPORATE SOURCE: Department of Medical Parasitology and Infection Biology, Swiss Tropical Institute, Basel, 4002, Switz.
SOURCE: Tropical Medicine & International Health (2001), 6(5), 369-389
CODEN: TMIHFL; ISSN: 1360-2276
PUBLISHER: Blackwell Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB About 160 fluoroquinolones and derivs. were tested for antitrypanosomal activity in a drug sensitivity assay followed by fluorometric evaluation. The most active quinolone compds. had IC50 values in the range from 100 to 900 ng/mL, while several derivs. were not active at a concentration of 100 μg/mL. In a structure-activity relationship study, modification of the quinolones at position R1, R2, R3 and R8 did not influence trypanocidal activity. An exchange of the fluorine at position 6 may contribute to an increase in activity but does not entirely control it. Pyrrolidine substituents at position R7 generally were more active than other substituents at this position. Tetracyclic quinolone derivs. were amongst the most active compds. with IC50 values in the range of 0.3-8.8 μg/mL. The in vitro cytotoxicity on HT-29 cells was determined for active compds. with IC50 values below 1 μg/mL. In addition, six drugs with an IC50 below 1 μg/mL and a selectivity index of more than 10 were chosen for in vivo expts. Dose escalation expts. with a maximum dose of 100 mg/kg/bid were performed in a mouse model without central nervous system involvement. For unknown reasons the in vitro effect of the drugs could not be confirmed in vivo, but the class of compound remains of interest for their mode of action, the low toxicity, pharmacol. properties and the availability of a large number of synthesized compds.

IT 127033-38-9
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(antitrypanosomal activity of quinolone derivs. as function of their structure)

RN 127033-38-9 ZCAPLUS
CN 4(1H)-Quinazolinone, 7-chloro-6-fluoro-2-[(1E)-2-phenylethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

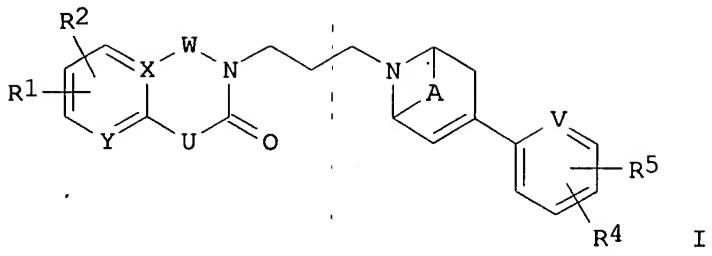


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

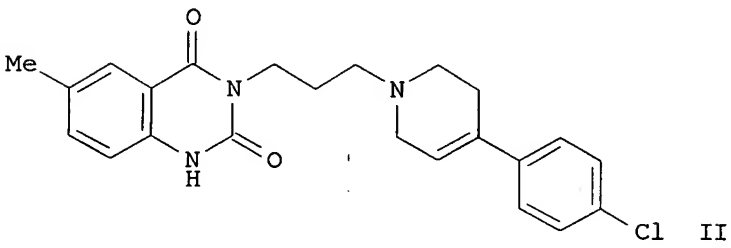
L4 ANSWER 23 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:185074 ZCAPLUS
DOCUMENT NUMBER: 134:222727
TITLE: Preparation of tetrahydroquinazoline-2,4-diones for inhibiting serotonin reuptake or 5-HT2A serotonin receptor binding
INVENTOR(S): Butler, Todd William; Fliri, Anton Franz Josef; Gallaschun, Randall James; Jones, Brian Patrick; Ragan, John Anthony
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1083178	A1	20010314	EP 2000-307433	20000830
EP 1083178	B1	20040915		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6521630	B1	20030218	US 2000-650486	20000829
JP 2001114778	A	20010424	JP 2000-261115	20000830
JP 3285343	B2	20020527		
AT 276261	T	20041015	AT 2000-307433	20000830
PT 1083178	T	20041231	PT 2000-307433	20000830
ES 2226726	T3	20050401	ES 2000-307433	20000830
JP 2002212161	A	20020731	JP 2001-337442	20011102
JP 3727569	B2	20051214		
US 2003109516	A1	20030612	US 2003-340287	20030110
PRIORITY APPLN. INFO.:			US 1999-151725P	P 19990831
			US 2000-650486	A3 20000829
			JP 2000-261115	A3 20000830

OTHER SOURCE(S): MARPAT 134:222727
GI



I



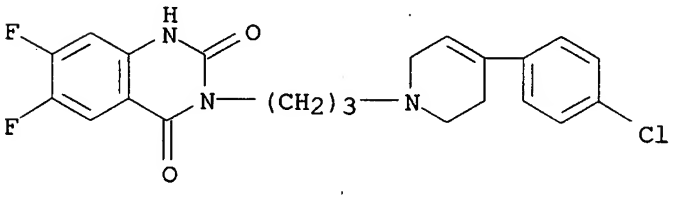
II

AB The title compds. [I; A = (CH2)n (wherein n = 0-2); U = CH2, NH, NR3; R1, R2 = H, alkyl, Cl, etc.; or R1 and R2, together with the atoms to which they are attached, form 5-6 membered carbocyclic or heterocyclic ring; R3 = H, alkyl, C(O)alkyl; R4, R5 = H, alkyl, Cl, etc.; V = CH, CR3, N; W = CH2, CO, SO2; X = C, N; Y = CH, CR1, CR2, N] and their pharmaceutically acceptable salts, useful in treating diseases, conditions or disorders of the central nervous system, were prepared Thus, treatment of Me 2-amino-5-methylbenzoate with triphosgene in the presence of Et3N in CH2Cl2 followed by addition of 3-[4-(4-chlorophenyl)-3,6-dihydro-2H-pyridin-1-yl]propylamine (preparation given) afforded 79% II. The exemplified compds. I showed more than 50% inhibition at <50 nM in the serotonin reuptake assay and binding assays for 5-Ht2A serotonin receptor.

IT 329790-08-1P 329790-09-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinazoline-2,4-diones for inhibiting serotonin reuptake or 5-HT2A serotonin receptor binding)

RN 329790-08-1 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[3-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]propyl]-6,7-difluoro- (9CI) (CA INDEX NAME)

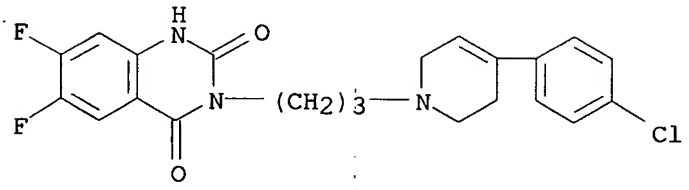


RN 329790-09-2 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[3-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]propyl]-6,7-difluoro-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

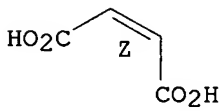
CRN 329790-08-1
CMF C22 H20 Cl F2 N3 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

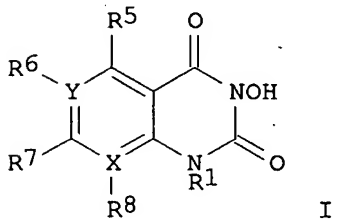
L4 ANSWER 24 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:311055 ZCAPLUS
DOCUMENT NUMBER: 130:338119
TITLE: Preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and related compounds as antibacterial agents.
INVENTOR(S): Domagala, John Michael; Ellsworth, Edmund Lee; Huang, Liren; Renau, Thomas Eric; Singh, Rajeshwar; Stier, Michael Andrew
PATENT ASSIGNEE(S): Warner Lambert Co., USA
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921840	A1	19990506	WO 1998-US19877	19980923
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9895039	A	19990517	AU 1998-95039	19980923
EP 1028950	A1	20000823	EP 1998-948473	19980923
EP 1028950	B1	20030502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO					
AT 239000	T	20030515	AT 1998-948473		19980923
PT 1028950	T	20030930	PT 1998-948473		19980923
ES 2195397	T3	20031201	ES 1998-948473		19980923
ZA 9809783	A	19990428	ZA 1998-9783		19981027
US 6331538	B1	20011218	US 2000-508796		20000315
US 2002115674	A1	20020822	US 2001-971343		20011004
US 6825199	B2	20041130			
PRIORITY APPLN. INFO.:			US 1997-63556P	P	19971028
			US 1998-98588P	P	19980831
			WO 1998-US19877	W	19980923
			US 2000-508796	A3	20000315

OTHER SOURCE(S): MARPAT 130:338119

GI



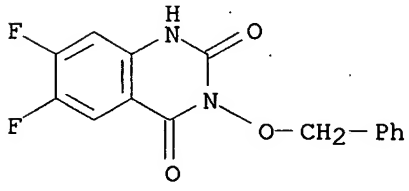
I

AB Title compds. [I; R1 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph; R5, R6, R8 = H, F, Cl, Br, NO2, cyano, CF3, alkyl, cycloalkyl, amino, etc.; R7 = R5, (substituted) carbocyclyl, Ph, (fused) heterocyclyl, etc.; R1R8 = (substituted) 6-7 membered (heterocyclic) ring; X, Y = C, N], were prepared Thus, 1-cyclopropyl-6-fluoro-3-hydroxy-7-(pyrrolidin-1-yl)-1H-quinazoline-2,4-dione (preparation given) inhibited Staphylococcus aureus with min. inhibitory concentration = 1.0 μg/mL.

IT 224190-09-4P 224191-09-7P 224191-12-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and related compds. as antibacterial agents)

RN 224190-09-4 ZCAPLUS

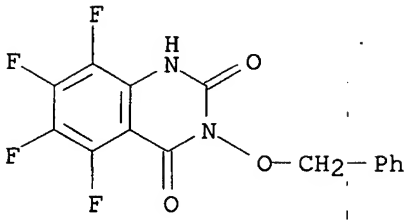
CN 2,4(1H,3H)-Quinazolinedione, 6,7-difluoro-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



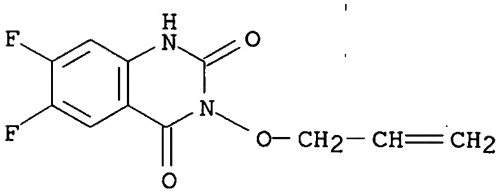
RN 224191-09-7 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 5,6,7,8-tetrafluoro-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/ 530,897a



RN 224191-12-2 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-difluoro-3-(2-propenyloxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

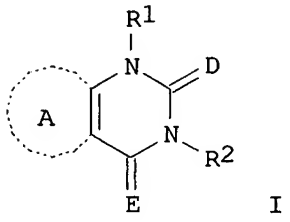
L4 ANSWER 25 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:216905 ZCAPLUS
DOCUMENT NUMBER: 130:252369
TITLE: Preparation and formulation of quinazoline derivatives as allergy inhibitors
INVENTOR(S): Kajino, Masahiro; Morimoto, Shinji; Inaba, Atsuhiro; Nagaya, Hideaki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 324 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9914203	A1	19990325	WO 1998-JP4103	19980911
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2302453	A1	19990325	CA 1998-2302453	19980911
AU 9890025	A	19990405	AU 1998-90025	19980911
JP 11152275	A	19990608	JP 1998-257761	19980911
EP 1026160	A1	20000809	EP 1998-941835	19980911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6407116	B1	20020618	US 2000-486646	20000225
PRIORITY APPLN. INFO.:			JP 1997-250960 A	19970916

10/ 530,897a

WO 1998-JP4103 W 19980911

OTHER SOURCE(S): MARPAT 130:252369
GI



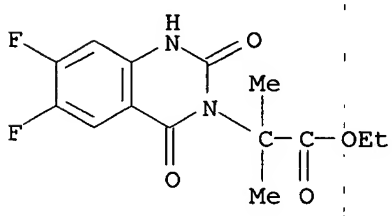
I

AB The title compds. I [A is a (substituted) homocycle or nitrogenous heterocycle; D and E are each O or S; and one of R1 and R2 is a group represented by general formula XBYC(R3)(Ar1)(Ar2); Ar1 and Ar2 are each a (substituted) aromatic group or they together with the carbon atom adjacent to them may form a (substituted) fused-ring group; B is a (substituted) nitrogenous heterocycle; X and Y are each a bond, O, S(O)p (wherein p is an integer of 0 to 2), NR4 (wherein R4 is H or lower alkyl) or a divalent (substituted) linear lower hydrocarbon group optionally interrupted by a heteroatom; and R3 is H, (substituted) hydroxyl or (esterified) carboxyl, and the other of them is H, cyano or a (substituted) hydrocarbon group] are prepared I are antihistaminics and eosinophilic chemotaxis inhibitors and are useful in the treatment of asthma, allergic conjunctivitis, allergic rhinitis, urticaria, atopic dermatitis, etc. 2,4-Dioxo-1-[4-(4-diphenylmethoxy-1-piperidinyl)butyl]-1,2,3,4-tetrahydroquinazoline in vitro at 1 x 10-5 M gave 91% inhibition of LTB4-induced chemotaxis.

IT 221541-23-7P 221541-32-8P 221541-42-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazoline derivs. as allergy inhibitors)

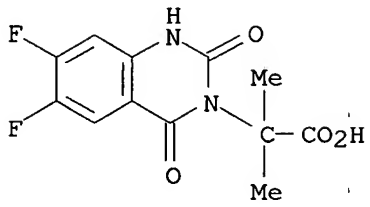
RN 221541-23-7 ZCAPLUS

CN 3(2H)-Quinazolineacetic acid, 6,7-difluoro-1,4-dihydro-α,α-dimethyl-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

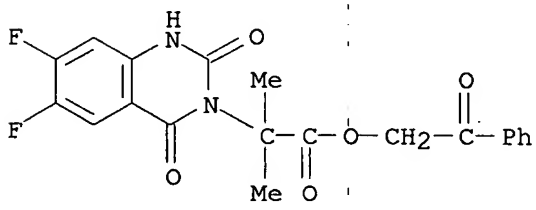


RN 221541-32-8 ZCAPLUS

CN 3(2H)-Quinazolineacetic acid, 6,7-difluoro-1,4-dihydro-α,α-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 221541-42-0 ZCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6,7-difluoro-1,4-dihydro-α,α-dimethyl-2,4-dioxo-, 2-oxo-2-phenylethyl ester (9CI) (CA INDEX NAME)

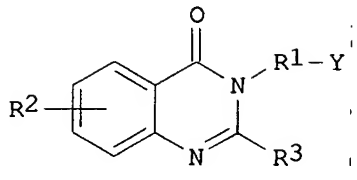


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:184080 ZCAPLUS
DOCUMENT NUMBER: 128:244059
TITLE: Synthesis of quinazolinone combinatorial libraries and derivatives thereof
INVENTOR(S): Houghten, Richard A.; Ostresh, John M.
PATENT ASSIGNEE(S): Trega Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811438	A1	19980319	WO 1997-US16327	19970912
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5783577	A	19980721	US 1996-713409	19960913
AU 9744164	A	19980402	AU 1997-44164	19970912
PRIORITY APPLN. INFO.:			US 1996-713409 A	19960913
			WO 1997-US16327 W	19970912

OTHER SOURCE(S): MARPAT 128:244059
GI



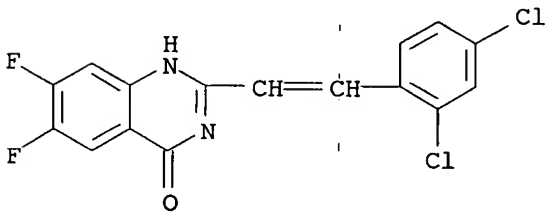
I

AB The invention provides synthetic combinatorial libraries of organic compds. based on the quinazolinone ring, as well as libraries containing styryl derivs. of the same. The libraries are described by the general formula I [R1 = the α-carbon and side chain of selected (un)natural amino acids; R2 = H, 6,8-di-Me, 8-OH, 8-OMe, 8-Me, 6-Me, halo, benzo fusion; R3 = Me, CH:CHR4; R4 = selected (un)substituted Ph, naphthyl, furanyl, pyridyl, etc.; Y = optional CO2H, (un)protected CONH2, amino resin, hydroxy resin, optionally N-alkylated methylamine]. Three example libraries [numbering 6, approx. 3000, and 35,700 members] are described. In the second case, 35 diverse amino carboxylic acids were coupled to MBHA resin and the resins were mixed. Then, the bound amino acid mixture was condensed with each of 7 acetylated anthranilic acids, and each of the resultant bound 2-methylquinazolinone mixts. was condensed with each of 13 different benzaldehydes, to give a 2-styrylquinazolinone derivative library (O3O2X1 format) comprising 91 mixts. of 35 products each. Yields for each mixture were in the range of 25-95%, and typically over 50%.

IT 204780-92-7DP, derivs. 204780-93-8DP, derivs.
204780-94-9DP, derivs. 204780-95-0DP, derivs.
204780-96-1DP, derivs. 204780-97-2DP, derivs.
204780-98-3DP, derivs. 204780-99-4DP, derivs.
204781-00-0DP, derivs. 204781-02-2DP, derivs.
204781-03-3DP, derivs. 204781-05-5DP, derivs.
204781-07-7DP, derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinazolinone combinatorial libraries)

RN 204780-92-7 ZCAPLUS

CN 4(1H)-Quinazolinone, 2-[2-(2,4-dichlorophenyl)ethenyl]-6,7-difluoro- (9CI) (CA INDEX NAME)



RN 204780-93-8 ZCAPLUS

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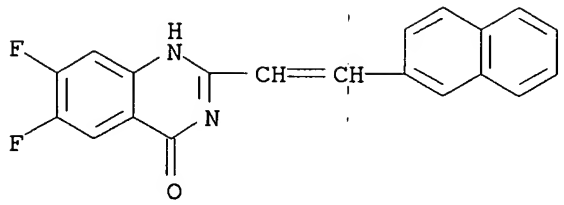
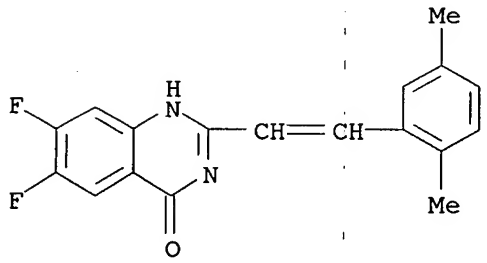
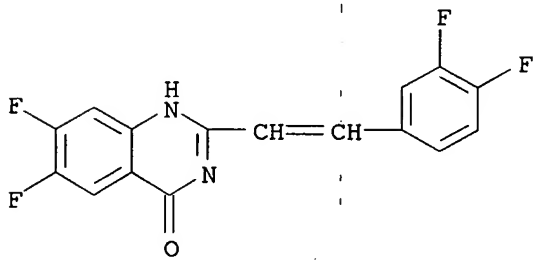


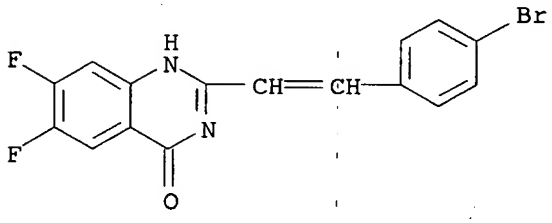
RN 204780-94-9 ZCAPLUS
CN 4(1H)-Quinazolinone, 2-[2-(2,5-dimethylphenyl)ethenyl]-6,7-difluoro- (9CI) (CA INDEX NAME)



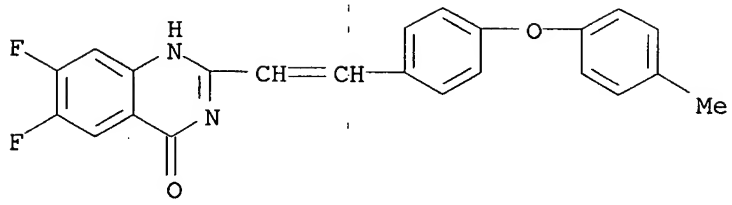
RN 204780-95-0 ZCAPLUS
CN 4(1H)-Quinazolinone, 2-[2-(3,4-difluorophenyl)ethenyl]-6,7-difluoro- (9CI) (CA INDEX NAME)



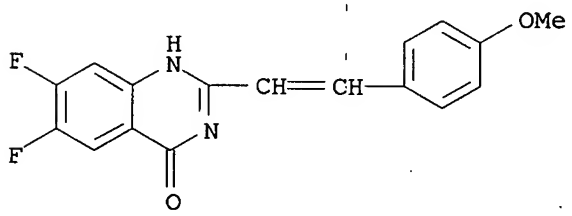
RN 204780-96-1 ZCAPLUS
CN 4(1H)-Quinazolinone, 2-[2-(4-bromophenyl)ethenyl]-6,7-difluoro- (9CI) (CA INDEX NAME)



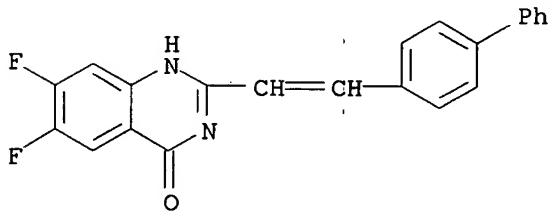
RN 204780-97-2 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-difluoro-2-[2-[4-(4-methylphenoxy)phenyl]ethenyl]- (9CI) (CA INDEX NAME)



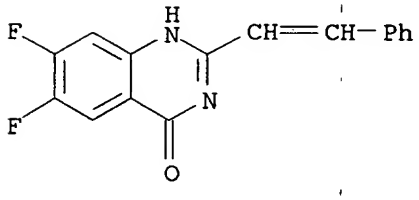
RN 204780-98-3 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-difluoro-2-[2-(4-methoxyphenyl)ethenyl]- (9CI) (CA INDEX NAME)



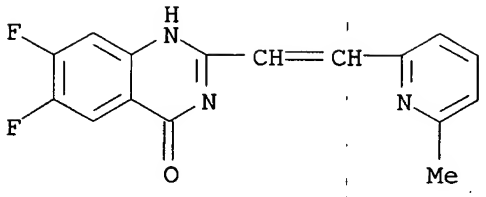
RN 204780-99-4 ZCAPLUS
CN 4(1H)-Quinazolinone, 2-(2-[1,1'-biphenyl]-4-ylethenyl)-6,7-difluoro- (9CI) (CA INDEX NAME)



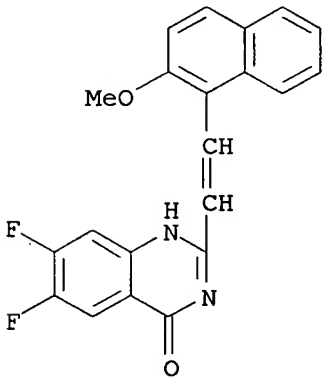
RN 204781-00-0 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-difluoro-2-(2-phenylethenyl)- (9CI) (CA INDEX NAME)



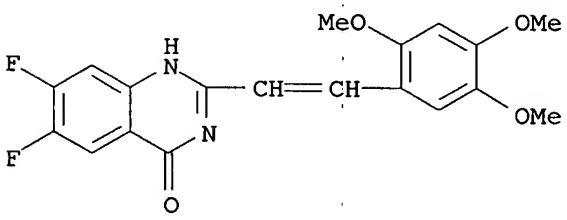
RN 204781-02-2 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-difluoro-2-[2-(6-methyl-2-pyridinyl)ethenyl]- (9CI) (CA INDEX NAME)



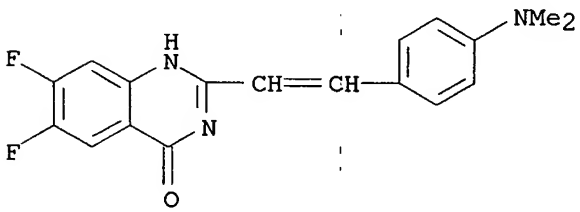
RN 204781-03-3 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-difluoro-2-[2-(2-methoxy-1-naphthalenyl)ethenyl]-
(9CI) (CA INDEX NAME)



RN 204781-05-5 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-difluoro-2-[2-(2,4,5-trimethoxyphenyl)ethenyl]-
(9CI) (CA INDEX NAME)



RN 204781-07-7 ZCAPLUS
CN 4(1H)-Quinazolinone, 2-[2-[4-(dimethylamino)phenyl]ethenyl]-6,7-difluoro-
(9CI) (CA INDEX NAME)

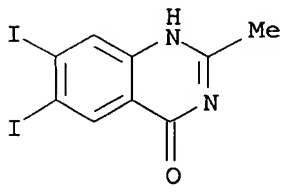


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:533625 ZCAPLUS
DOCUMENT NUMBER: 127:205588
TITLE: Preparation of 4-quinazolones from N-acyl-β-amino acids.
INVENTOR(S): Bhattacharya, Apurba; Allen, Diane E.
PATENT ASSIGNEE(S): Hoechst Celanese Corp., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728134	A1	19970807	WO 1997-US1861	19970130
W: CN, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5739330	A	19980414	US 1996-596794	19960205
IN 1997CA00105	A	20050311	IN 1997-CA105	19970120
PRIORITY APPLN. INFO.:			US 1996-596794 A	19960205

OTHER SOURCE(S): MARPAT 127:205588
AB 4-Quinazolones were prepared by (a) dehydrating N-acyl-β-amino acids in the presence of a dehydrating agent and an organic solvent to form an oxazone, (b) adding a carboxylic acid and a primary amine salt of a carboxylic acid to said oxazone to form a mixture, (c) distilling azeotropically said mixture to substantially remove said dehydrating agent and organic solvent, and (d) heating the product of step (c) to form said quinazolone. Thus, 2-amino-4,5-dimethylbenzoic acid was refluxed 3 h with Ac2O and heptane; NH4OAc was added followed by distillation of heptane. AcOH was added followed by distillation and then reflux for 12 h to give 80% 2,6,7-trimethyl-4(3H)-quinazolinone.
IT 194473-05-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 4-quinazolones from N-acyl-β-amino acids)
RN 194473-05-7 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-diiodo-2-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:533623 ZCAPLUS
DOCUMENT NUMBER: 127:205586
TITLE: Preparation of 5,6-dihydro-3H-pyrimidin-4-one derivatives.
INVENTOR(S): Bhattacharya, Apurba; Allen, Diane E.
PATENT ASSIGNEE(S): Hoechst Celanese Corp., USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2

10/ 530,897a

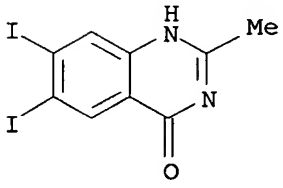
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728132	A1	19970807	WO 1997-US1860	19970130
W: CN, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5763608	A	19980609	US 1996-595885	19960205
IN 182629	A1	19990522	IN 1997-CA107	19970120

PRIORITY APPLN. INFO.: US 1996-595885 A 19960205
OTHER SOURCE(S): CASREACT 127:205586; MARPAT 127:205586

AB 5,6-Dihydro-3H-pyrimidin-4-one derivs. were prepared by (a) dehydrating N-acyl β-amino acid derivs. in the presence of a dehydrating agent and an organic solvent to form oxazones; (b) adding a carboxylic acid and a primary amine salt of a carboxylic acid to said oxazones to form a mixture; (c) distilling azeotropically said mixture to remove the dehydrating agent and organic solvent; and (d) heating the product of step (c). Thus, 2-acetylamino-4,5-dimethylbenzoic acid (preparation given) was refluxed 3 h with Ac2O and heptane; NH4OAc was added followed by distillation of heptane, addition of AcOH, continued distillation, and reflux for 12 h to give 80% 2,6,7-trimethyl-4(3H)-quinazolinone.

IT 194473-05-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5,6-dihydro-3H-pyrimidin-4-one derivs. from N-acyl-β-amino acids)
RN 194473-05-7 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-diiodo-2-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:533609 ZCAPLUS
DOCUMENT NUMBER: 127:190527
TITLE: Three-step process for preparing anthranilic acids from anilines
INVENTOR(S): Bhattacharya, Apurba; Allen, Diane E.
PATENT ASSIGNEE(S): Hoechst Celanese Corp., USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

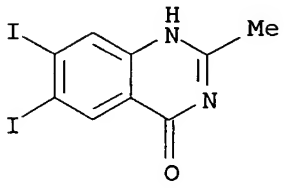
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728118	A1	19970807	WO 1997-US1862	19970130
W: CN, JP				

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: US 1996-596536 A 19960205
OTHER SOURCE(S): CASREACT 127:190527; MARPAT 127:190527

AB Anthranilic acids, useful as cyclocondensation intermediates in the preparation of 4-quinazolinones, are prepared in high yield and selectivity by: (a) acylating an aniline with an acylation agent (e.g., Ac2O) to form the corresponding amide; (b) subjecting the acetylated intermediate to halogenation in the presence of an oxidizing agent (e.g., H2O2) to form a ortho-halogenated aniline amide; and (c) subjecting the ortho-halogenated aniline amide to carbonylation to form the anthranilic acid. Thus, 3,4-dimethylaniline was acylated with Ac2O, brominated with Br2 and H2O2, and carbonylated in the presence of CO, PPh3, and (PPh3)2PdCl2, producing 2-(acetylamino)-4,5-dimethylbenzoic acid.

IT 194473-05-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(three-step process for preparing anthranilic acids from anilines)

RN 194473-05-7 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-diiodo-2-methyl- (9CI) (CA INDEX NAME)



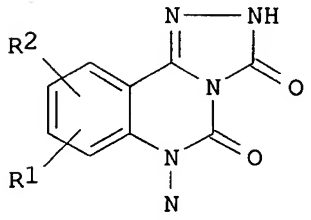
L4 ANSWER 30 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:171817 ZCAPLUS
DOCUMENT NUMBER: 124:232481
TITLE: Tricyclic dicarbonyl derivatives [triazoloquinazolinediones and analogs] useful as neuroprotectives, and their preparation
INVENTOR(S): Buettelmann, Bernd; Godel, Thierry; Gross, Laurence; Heitz Niedhart, Marie-Paule; Riemer, Claus; Wyler, Rene
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9532205	A1	19951130	WO 1995-EP1856	19950516
W: AU, BR, CA, CN, JP, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2189776	A1	19951130	CA 1995-2189776	19950516
AU 9526130	A	19951218	AU 1995-26130	19950516
AU 688515	B2	19980312		
EP 760819	A1	19970312	EP 1995-920816	19950516
EP 760819	B1	20000719		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1149295	A	19970507	CN 1995-193244	19950516
CN 1044245	B	19990721		
JP 09506634	T	19970630	JP 1995-530032	19950516

JP 2828506	B2	19981125			
BR 9507672	A	19970819	BR 1995-7672		19950516
RU 2145606	C1	20000220	RU 1996-124496		19950516
AT 194841	T	20000815	AT 1995-920816		19950516
ES 2149989	T3	20001116	ES 1995-920816		19950516
PT 760819	T	20001130	PT 1995-920816		19950516
ZA 9504024	A	19951124	ZA 1995-4024		19950517
US 5688803	A	19971118	US 1996-737240		19961115
GR 3034625	T3	20010131	GR 2000-402311		20001013
PRIORITY APPLN. INFO.:			CH 1994-1602	A	19940524
			CH 1995-477	A	19950217
			WO 1995-EP1856	W	19950516

OTHER SOURCE(S): MARPAT 124:232481

GI



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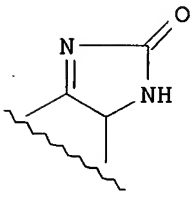

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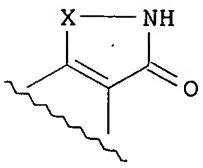

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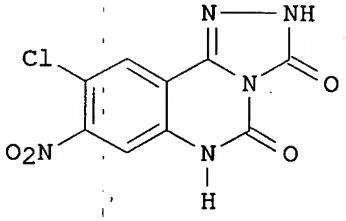
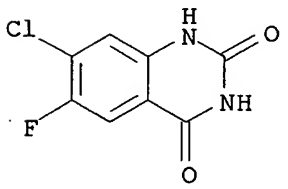


IV

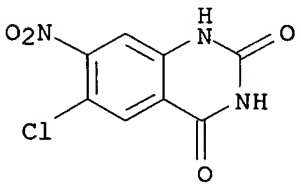
AB Title compds. I, II, and III, and their pharmaceutically acceptable salts, are disclosed [wherein R1, R2 = H, alkyl, alkoxy, NO2, CF3, amino, halo, cyano or R3R4NSO2; R3, R4 = alkyl; also R2 may = (thio)morpholino, or a 5- or 6-membered heterocycle with 1-3 N and (un)substituted by alkyl, OH, amino, or CH2NHCH3, or a bicyclic heterocycle with 1-3 N, or NR5R6 or OR5, in which R5, R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, or alkylaminoalkyl; X = CH:CH, CH:N, NH, CO or O]. The compds. can be used as neuroprotectives, especially for treatment or prevention of ischemia, hypoglycemia, hypoxia, cerebral vascular spasms, spasticity, trauma, hemorrhagia, infection, epileptic seizures, autoimmune diseases, withdrawal symptoms, Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, Huntington's disease, intoxications, olivoponto-cerebellar atrophy, spinal cord injuries, schizophrenia, depressions, anxiety states, dependence, pains, autism and mental retardation. Fifty-six synthetic examples are given. For instance, cyclization of 2-amino-5-chloro-4-nitrobenzoic acid with urea at 180° gave 65% 2,4-dioxo-6-chloro-7-nitro-1,2,3,4-tetrahydroquinazoline, which was chlorinated with POCl3 to give 50% 2,4,6-trichloro-7-nitroquinazoline. This underwent substitution by Et carbazate at the 4-position (83%), hydrolysis at the 2-position (96%), and cyclization in refluxing DMF (64%), to give the preferred title compound IV. IV inhibited binding of [3H]-DCKA to NMDA receptor and [3H]-AMPA to kainate/AMPA receptor in vitro, with an IC50 of 50 nM (both tests).

IT 174565-49-2P 174565-68-5P 174565-71-0P
174565-83-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of triazoloquinazolinediones and analogs as neuroprotectives)

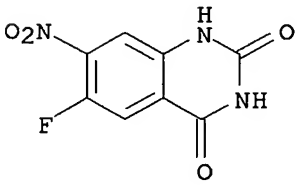
RN 174565-49-2 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-6-fluoro- (9CI) (CA INDEX NAME)



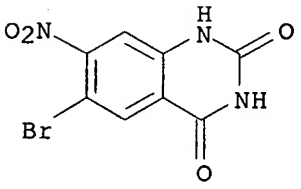
RN 174565-68-5 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-7-nitro- (9CI) (CA INDEX NAME)



RN 174565-71-0 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-fluoro-7-nitro- (9CI) (CA INDEX NAME)



RN 174565-83-4 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-7-nitro- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:943481 ZCAPLUS

10/ 530,897a

DOCUMENT NUMBER: 123:340180
TITLE: Preparation of quinazoline-2,4-dione AMPA, NMDA and kinate receptor antagonists
INVENTOR(S): Koller, Manuel
PATENT ASSIGNEE(S): Sandoz Ltd., Switz.; Sandoz-Patent-G.m.b.H.; Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9519346	A1	19950720	WO 1995-EP136	19950113
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 1995MA00028	A	20050225	IN 1995-MA28	19950109
AU 9515341	A	19950801	AU 1995-15341	19950113
ZA 9500269	A	19960715	ZA 1995-269	19950113
PRIORITY APPLN. INFO.:			GB 1994-680 A	19940114
			WO 1995-EP136 W	19950113

OTHER SOURCE(S): MARPAT 123:340180
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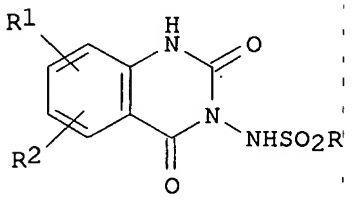
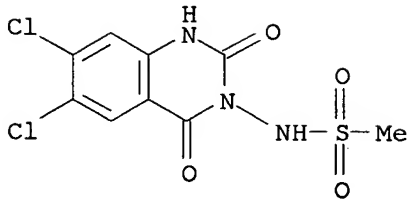


I

AB The title compds. [I; R = (un)substituted alkyl or Ph; R1, R2 = H, OH, alkyl, alkoxy, alkenyl, halogen, NO2, (un)substituted NH2, CN, etc.; R1 and R2 on adjacent C atoms may form CH:CHCH:CH], useful as AMPA, NMDA, and kinate receptor antagonists, are prepared Thus, methanesulfonyl hydrazide was reacted with Me 4-chloro-2-isocyanatobenzoate, forming N-(7-chloro-2,4-dioxo-1,4-dihydro-2H-quinazolin-3-yl)methanesulfonamide, m.p. 276-278°, which demonstrated an inhibition of kainic acid-induced depolarization of rat brain slices with a pA2 of 5.7 and an inhibition of AMPA-induced depolarization with a pA2 of 5.6.

IT 170735-44-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline-2,4-dione AMPA, NMDA and kinate receptor antagonists)

RN 170735-44-1 ZCAPLUS
CN Methanesulfonamide, N-(6,7-dichloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:207473 ZCAPLUS
DOCUMENT NUMBER: 122:31556
TITLE: Preparation of [(cyanoalkoxy)phenoxy]heterocycle derivatives and analogs as herbicides
INVENTOR(S): Ishizaki, Masahiko; Osada, Seiji
PATENT ASSIGNEE(S): Tokuyama Soda Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 56 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05339224	A	19931221	JP 1992-150946	19920610
PRIORITY APPLN. INFO.:			JP 1992-150946	19920610

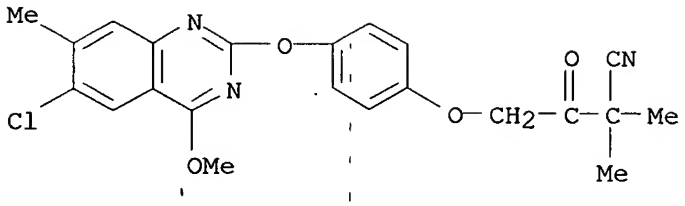
OTHER SOURCE(S): CASREACT 122:31556; MARPAT 122:31556
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A1 = (un)substituted aromatic or heterocyclic group; X1 - X3 = O, S; B1 - B3 = H, alkyl; Y1 - Y4 = H, halo, alkyl; A2 = (un)substituted alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkoxycarbonyl, (un)substituted Photog. coupler, cyano, (un)substituted aromatic or heterocyclic group], useful as herbicides (no data), are prepared by reaction of (thio)phenols I (A1 = H, alkali metal) with aryl or heterocyclyl halides A1Z (Z = halo; A1 = same as above). Thus, 2,3-dichloro-6-(trifluoromethyl)pyridine, 1-cyano-1-(2,4-dichlorophenyl)-3-(4-hydroxyphenoxy)-2-butanone, K2CO3, and DMSO were refluxed at 110° for 7 h to give 62.5% phenoxypyridine derivative (II). A total of 104 I including a phenoxybenzopyrazine derivative (III) were prepared

IT 147286-49-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

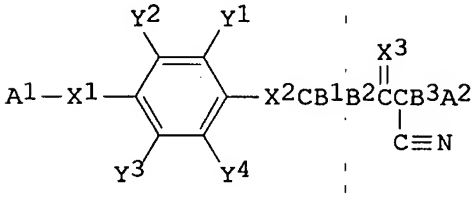
RN 147286-49-5 ZCAPLUS
CN Butanenitrile, 4-[4-[(6-chloro-4-methoxy-7-methyl-2-quinazolinyl)oxy]phenoxy]-2,2-dimethyl-3-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:502004 ZCAPLUS
DOCUMENT NUMBER: 121:102004
TITLE: Herbicides containing quinolinic acid and cyanoketone derivatives
INVENTOR(S): Ishizaki, Masahiko; Kobutani, Tadashi
PATENT ASSIGNEE(S): Tokuyama Soda Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 45 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06145007	A	19940524	JP 1992-294584	19921102
PRIORITY APPLN. INFO.:			JP 1992-294584	19921102
OTHER SOURCE(S):	MARPAT 121:102004			

GI



II

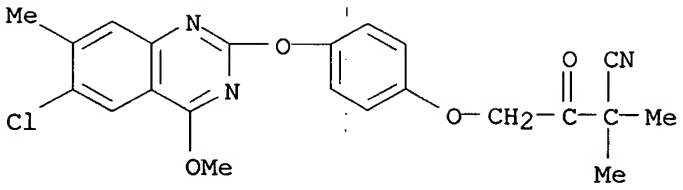
AB A herbicide contains 2-(4-isopropyl-4-methyl-5-oxoimidazolin-2-yl)-3-quinolinic acid (I) and cyanoketone derivs. (II; A1 = (un)substituted aromatic or heterocyclic group; X1, X2, X3 = O, S; B1, B2, B3 = H, alkyl; Y1-4 = H, halo, alkyl; A2 = alkyl, alkenyl, alkynyl, etc.). This composition controls a wide spectrum of weeds in rice paddies for a long time. For example, herbicidal activities of 104 combinations of I and II against 8 weeds were demonstrated.

IT 156758-10-0
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(herbicidal activity of, in rice)

RN 156758-10-0 ZCAPLUS
CN 3-Quinolinecarboxylic acid, 2-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-, mixt. with 4-[4-[(6-chloro-4-methoxy-7-methyl-2-quinazolinyl)oxy]phenoxy]-2,2-dimethyl-3-oxobutanenitrile (9CI) (CA INDEX NAME)

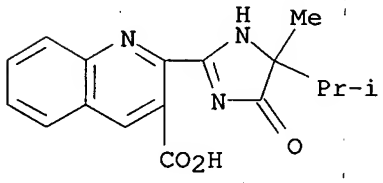
CM 1

CRN 147286-49-5
CMF C22 H20 Cl N3 O4



CM 2

CRN 81335-37-7
CMF C17 H17 N3 O3



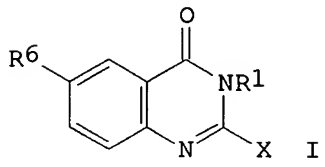
L4 ANSWER 34 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:323589 ZCAPLUS
DOCUMENT NUMBER: 120:323589
TITLE: Preparation of 3-tetrazolylbiphenylylmethyl-4-quinazolinones as angiotensin II antagonists
INVENTOR(S): Venkatesan, Aranapakam; Levin, Jeremy I.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 35 pp. Cont.-in-part of U.S. Ser. No. 648,492, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5290780	A	19940301	US 1992-818721	19920114
AT 164158	T	19980415	AT 1992-100640	19920116
ES 2117014	T3	19980801	ES 1992-100640	19920116
FI 9200217	A	19920731	FI 1992-217	19920117
ZA 9200392	A	19921028	ZA 1992-392	19920120
NO 9200298	A	19920731	NO 1992-298	19920122
AU 9210397	A	19920806	AU 1992-10397	19920122
AU 642573	B2	19931021		
CA 2060154	A1	19920731	CA 1992-2060154	19920128
JP 05078332	A	19930330	JP 1992-38746	19920129
JP 2758766	B2	19980528		
CZ 281429	B6	19960911	CZ 1992-255	19920129
SK 279004	B6	19980506	SK 1992-255	19920129
CN 1066847	A	19921209	CN 1992-100545	19920130
CN 1037440	B	19980218		

HU 61748	A2	19930301	HU 1992-278	19920130
AU 9344906	A	19931118	AU 1993-44906	19930825
AU 647992	B2	19940331		
US 5405849	A	19950411	US 1993-145458	19931029
PRIORITY APPLN. INFO.:			US 1991-648492	B2 19910130
			US 1992-818721	A1 19920114

OTHER SOURCE(S): MARPAT 120:323589

GI



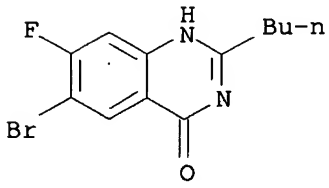
I

AB Title compds. [I; R1 = 4-(2-RC6H4)C6H4; R = 1H-tetrazol-5-yl][II; R6 = (CH2)nCR10R12OR11, (CH2)nCR9R10O2CR17, etc.; R9,R10 H, alkyl, Ph, etc.; R11 = H, alkyl; R12 = alkyl, Ph, pyridyl, etc.; R17, X = alkyl; n = 0-3] were prepared Thus, 5,2-Me(H2N)C6H3CO2H was cyclocondensed with (BuCO)2O and the product refluxed with EtOH/NH3 to give I (R1 = H, X = Bu)(III; R6 = Me) which was converted in 4 steps to III [R6 = CH(OH)Me]. The latter was condensed with 4-(2-RC6H4)C6H4CH2Br (R = 1-triphenylmethyltetrazol-5-yl) to give, after deprotection, II [R6 = CH(OH)Me, X = Bu]. II (R6 = CMe2OMe, X = Bu) gave 100% inhibition of angiotensin II-induced vasopressor response in rats 240min after oral administration of 5mg/kg.

IT 143945-66-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of angiotensin II antagonist)

RN 143945-66-8 ZCAPLUS

CN 4(1H)-Quinazolinone, 6-bromo-2-butyl-7-fluoro- (9CI) (CA INDEX NAME)

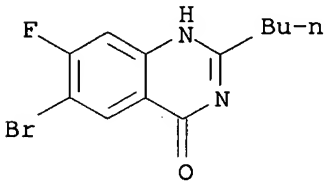


provisional

IT 143945-66-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of angiotensin II antagonist)

RN 143945-66-8 ZCAPLUS

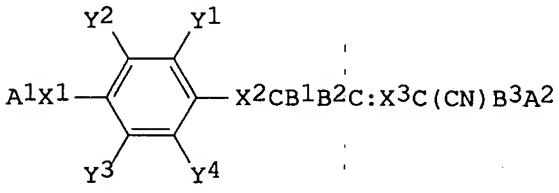
CN 4(1H)-Quinazolinone, 6-bromo-2-butyl-7-fluoro- (9CI) (CA INDEX NAME)



L4 ANSWER 35 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:625824 ZCAPLUS
DOCUMENT NUMBER: 119:225824
TITLE: Preparation of heterocydyl cyanoketone derivatives as herbicides
INVENTOR(S): Ishizaki, Masahiko; Nagata, Seiji; Kobutani, Tadashi
PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 506373	A2	19920930	EP 1992-302585	19920325
EP 506373	A3	19930811		
EP 506373	B1	19951129		
R: DE, FR, GB				
CA 2064322	A1	19920930	CA 1992-2064322	19920327
CA 2064322	C	19980407		
JP 05148213	A	19930615	JP 1992-100153	19920327
JP 3126480	B2	20010122		
US 5234894	A	19930810	US 1992-858509	19920327

PRIORITY APPLN. INFO.: JP 1991-89102 A 19910329
OTHER SOURCE(S): MARPAT 119:225824
GI

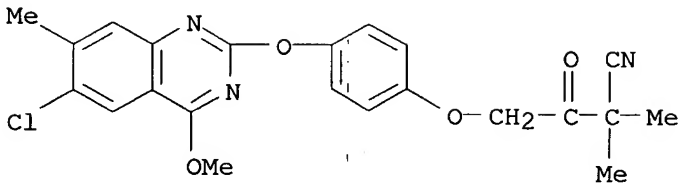


I

AB Title compds. I [A1 = (substituted) aromatic, (substituted) heterocyclyl; X1-X3 = O, S; B1-B3 = H, alkyl; Y1-Y4 = H, halo, alkyl; A2 = (substituted) alkyl, -alkenyl, alkynyl, alkoxy, etc., with provisos], are prepared 2-Cyano-2-methyl-4-(4-hydroxyphenoxy)-3-butanone K salt and 2-chloro-6-(trifluoromethyl)quinoxaline were refluxed in DMF at 100° for 4 h to give I [A1 = 6-(trifluoromethyl)-3-quinoxalinyl X1 = X2 = X3 = O, B1 = B2 = Y1 = Y2 = Y3 = Y4 = H, B3 = A2 = Me] (II). By foliar application, II at 1.5 kg/ha controlled barnyard grass and green foxtail 90-100% and 3.0 kg/ha showed no phototoxicity to soybeans, Adzuki beans, and beets.

IT 147286-49-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 147286-49-5 ZCAPLUS
CN Butanenitrile, 4-[4-[(6-chloro-4-methoxy-7-methyl-2-quinazolinyl)oxy]phenoxy]-2,2-dimethyl-3-oxo- (9CI) (CA INDEX NAME)

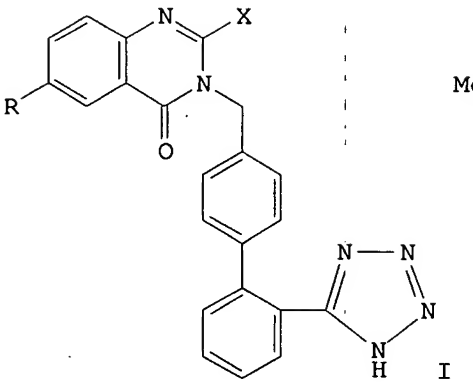


L4 ANSWER 36 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:101979 ZCAPLUS
DOCUMENT NUMBER: 118:101979
TITLE: Preparation of 3-(2'-tetrazol-5-ylbiphenyl-4-ylmethyl)quinazolin-4-ones as angiotensin II antagonists
INVENTOR(S): Venkatesan, Aranapakam M.; Levin, Jeremy I.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: Eur. Pat. Appl., 89 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

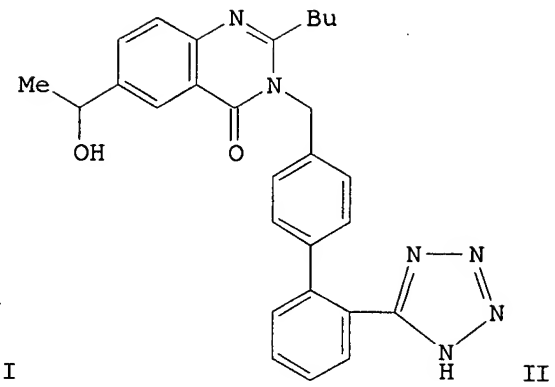
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 497150	A1	19920805	EP 1992-100640	19920116
EP 497150	B1	19980318		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
AT 164158	T	19980415	AT 1992-100640	19920116
ES 2117014	T3	19980801	ES 1992-100640	19920116
FI 9200217	A	19920731	FI 1992-217	19920117
ZA 9200392	A	19921028	ZA 1992-392	19920120
NO 9200298	A	19920731	NO 1992-298	19920122
AU 9210397	A	19920806	AU 1992-10397	19920122
AU 642573	B2	19931021		
CA 2060154	A1	19920731	CA 1992-2060154	19920128
JP 05078332	A	19930330	JP 1992-38746	19920129
JP 2758766	B2	19980528		
CZ 281429	B6	19960911	CZ 1992-255	19920129
SK 279004	B6	19980506	SK 1992-255	19920129
CN 1066847	A	19921209	CN 1992-100545	19920130
CN 1037440	B	19980218		
HU 61748	A2	19930301	HU 1992-278	19920130
AU 9344906	A	19931118	AU 1993-44906	19930825
AU 647992	B2	19940331		

PRIORITY APPLN. INFO.: US 1991-648492 A 19910130
OTHER SOURCE(S): MARPAT 118:101979
GI

10/ 530,897a



I



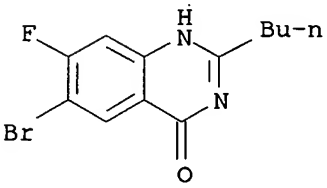
II

AB Title compds. [I; X = alkyl; R = (CH2)nC(OR11)R10R12, C(OR11)R10R12, CR9R10O2CR17, etc.; R10 = H, alkyl, (substituted) Ph, pyridyl, thienyl, furyl; R11 = H, alkyl; R12 = alkyl, (substituted) Ph, pyridyl, thienyl, furyl; R17 = alkyl], were prepared Thus, 2-butyl-6-(1-hydroxyethyl)-4(1H)-quinazolinone (preparation given) and 5-[4'-(bromomethyl)biphen-2-yl]-1-triphenylmethyl-1H-tetrazole were refluxed with K2CO3 in acetone to give the coupling product, which was refluxed with cat. HCl in acetone-H2O to give title compound II. II at 10 mg/kg i.v. in rats gave 100% antagonism of the vasopressor response of angiotensin II.

IT 143945-66-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for tetrazolylbiphenylylmethylquinazolone angiotensin II antagonist)

RN 143945-66-8 ZCAPLUS

CN 4(1H)-Quinazolinone, 6-bromo-2-butyl-7-fluoro- (9CI) (CA INDEX NAME)



provizo out

L4 ANSWER 37 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:53664 ZCAPLUS

DOCUMENT NUMBER: 116:53664

TITLE: Preparation of 3-(ω-mercaptoalkyl)quinazoline-2,4(1H,3H)diones as plant virucides

INVENTOR(S): Kluge, Siegfried; Leistner, Siegfried; Wagner, Guenther; Schuster, Gottfried; Lohmann, Dieter; Laban, Guenter

PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany

SOURCE: Ger. (East), 7 pp.
CODEN: GEXXA8

DOCUMENT TYPE: Patent

LANGUAGE: German

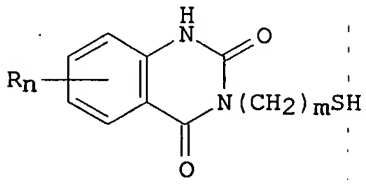
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DD 293713	A5	19910912	DD 1990-340034	19900424
PRIORITY APPLN. INFO.:			DD 1990-340034	19900424
OTHER SOURCE(S):	CASREACT 116:53664; MARPAT 116:53664			

GI



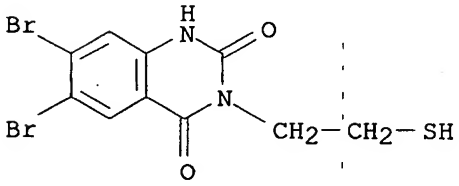
I

AB The title compds. I (R = H, MeO, halo; m = 2,3; n = 1,2) are prepared as plant virucides. 3-(2-Hydroxyethyl)-2-methylthioquinazoline-4(3H)thione (preparation given) was treated with HCl in MeOH, to give the corresponding quinazolinium salt, which upon treatment with NaOH gave I (Rn = H, m = 2) (II). II (0.001 mol/L) inhibited the multiplication of potato X virus in tobacco leaves.

IT 138400-03-0P 138655-29-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as plant virucide)

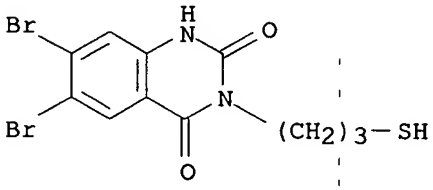
RN 138400-03-0 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6,7-dibromo-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



RN 138655-29-5 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6,7-dibromo-3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1992:34567 ZCAPLUS
DOCUMENT NUMBER:	116:34567
TITLE:	Preparation of 3-(ω-mercaptoalkyl)quinazoline-2,4-(1H,3H)diones as immunostimulants

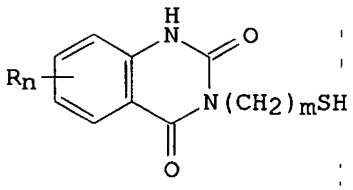
10/ 530,897a

INVENTOR(S): Leistner, Siegfried; Droessler, Karl; Wagner, Guenther; Ambrosius, Herwart; Lohmann, Dieter; Laban, Guenter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 12 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293726	A5	19910912	DD 1990-340035	19900424
PL 165856	B1	19950228	PL 1991-289988	19910422
PL 166839	B1	19950630	PL 1991-304198	19910422
EP 454060	A1	19911030	EP 1991-106519	19910423
EP 454060	B1	19960703		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
HU 57192	A2	19911128	HU 1991-1352	19910423
HU 208428	B	19931028		
AT 140000	T	19960715	AT 1991-106519	19910423
JP 05125059	A	19930521	JP 1991-122247	19910424
JP 2991806	B2	19991220		

PRIORITY APPLN. INFO.:
DD 1990-340025 A 19900424
DD 1990-340026 A 19900424
DD 1990-340027 A 19900424
DD 1990-340029 A 19900424
DD 1990-340032 A 19900424
DD 1990-340035 A 19900424

OTHER SOURCE(S): CASREACT 116:34567; MARPAT 116:34567
GI



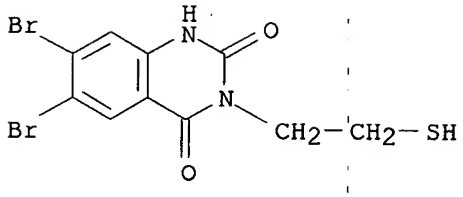
I

AB The title compds. I (R = H, alkoxy, halo; m = 2,3; n = 1,2) are prepared as immunostimulant and immunity-restoring drugs. 3-(2-Hydroxyethyl)-2-methylthioquinazoline-4(3H)thione (preparation given) was kept in methanolic HCl, to give 5-oxo-2,3-dihydro-6H-thiazolo[3,2-c]quinazolin-4-ium chlorohydrate, which upon treatment with NaOH in EtOH gave I (Rn = H, m = 2) (II). Oral administration of 2 mg II/kg/day, for 5 days, to mice immunized by i.p. administration of sheep erythrocytes, increased the number of erythrocyte-specific IgM- and IgG-plaque-forming cells. Formulation examples are given.

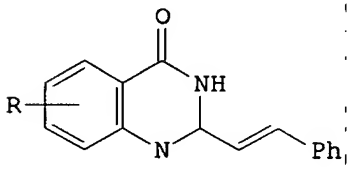
IT 138400-03-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as immunostimulant)

RN 138400-03-0 ZCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6,7-dibromo-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 39 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:235257 ZCAPLUS
DOCUMENT NUMBER: 112:235257
TITLE: Synthesis and biological evaluation of 2-styrylquinazolin-4(3H)-ones, a new class of antimitotic anticancer agents which inhibit tubulin polymerization
AUTHOR(S): Jiang, Jack B.; Hesson, D. P.; Dusak, B. A.; Dexter, D. L.; Kang, G. J.; Hamel, E.
CORPORATE SOURCE: E. I. Du Pont de Nemours and Co., Wilmington, DE, 19880, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(6), 1721-8
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:235257
GI

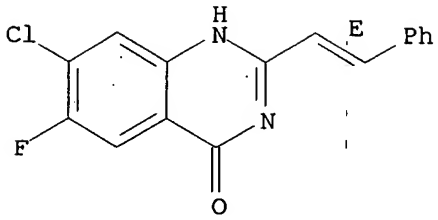


I

AB Title compds., e.g., I (R = 5-, 6-, 7-, 8-Cl, 6-Br, 6-F, 6-NH2, 6-OMe, 5-, 6-Me, 6-OH, 6-OEt) were prepared Extensive structure-activity relationship studies suggest that the entire quinazolinone structure was required, but activity was further enhanced by halide or small hydrophobic substituents at position 6. These analogs did not substantially interfere with the binding of radiolabeled colchicine, vinblastine, or GTP to tubulin and weakly stimulated GTP hydrolysis uncoupled from polymerization Several analogs have shown in vivo tumor growth inhibitory activity in the L1210 leukemia model, with the lead compound I (R = 6-OMe) exhibiting good antitumor activity against murine solid tumors as well as human tumor xenografts.

IT 127033-38-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antitumor activity of)
RN 127033-38-9 ZCAPLUS
CN 4(1H)-Quinazolinone, 7-chloro-6-fluoro-2-[(1E)-2-phenylethenyl]- (9CI) (CA INDEX NAME)

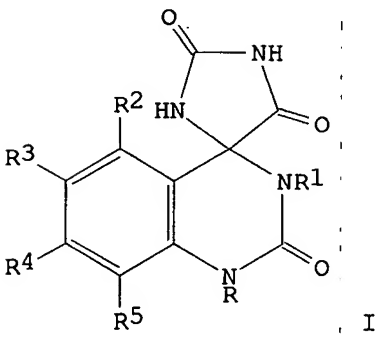
Double bond geometry as shown.



L4 ANSWER 40 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:192845 ZCAPLUS
DOCUMENT NUMBER: 110:192845
TITLE: Preparation of spiro[1,2,3,4-tetrahydroquinazoline-4,4'-imidazolidine]-2,2',5'-trione derivatives as aldose reductase inhibitors
INVENTOR(S): Yamada, Yoshihisa; Matsuoka, Yuzo; Matsumoto, Mamoru
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63239223	A	19881005	JP 1987-287942	19871113
JP 06021069	B	19940323		
IL 80392	A	19900118	IL 1986-80392	19861022
PRIORITY APPLN. INFO.:			JP 1986-279420 A1	19861121
OTHER SOURCE(S):	MARPAT 110:192845			

GI



I

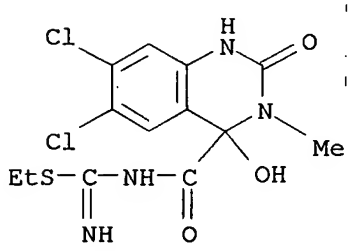
AB The title compds. (I; R = H, lower alkyl; R1 = lower alkyl; R2 - R5 = H, halo, lower alkyl, lower alkoxy, lower alkoxycarbonyl or -lower alkenyl; or adjacent 2 groups of R2-R5 = OCH2O and the other 2 groups = H) were prepared as aldose reductase inhibitors. To a solution of 4.0 g 5-chloro-1-(methylcarbamoyl)isatin in 40 mL THF, 4.0 g H2NC(SEt):NH.HBr and 3.0 mL Et3N were added and the resulting mixture was stirred 1 h at room temperature to give 6-chloro-3-methyl-4-hydroxy-4-(2-ethylisothioureido)carbonyl-2-oxo-1,2,3,4-tetrahydroquinazoline which was stirred in 10% aqueous HCl for 3

h at 70-80° to give 2.5 g 6-chloro-3-methyl-spiro[1,2,3,4-tetrahydroquinazolin-4,4'-imidazolidin]-2,2',5'-trione (II). d-II Na salt inhibited aldose reductase prepared from a rabbit's lens with an IC50 of 2.2 + 10-8M.

IT 120139-73-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 120139-73-3 ZCAPLUS

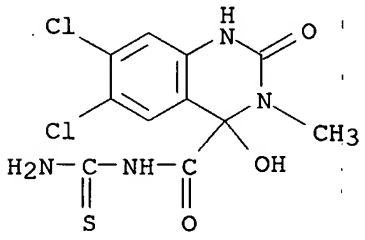
CN Carbamimidothioic acid, [(6,7-dichloro-1,2,3,4-tetrahydro-4-hydroxy-3-methyl-2-oxo-4-quinazolinyl)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 107583-16-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and S-ethylation of, by Et bromide)

RN 107583-16-4 ZCAPLUS

CN 4-Quinazolinecarboxamide, N-(aminothioxomethyl)-6,7-dichloro-1,2,3,4-tetrahydro-4-hydroxy-3-methyl-2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 41 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:8228 ZCAPLUS

DOCUMENT NUMBER: 110:8228

TITLE: Processes for preparation of spiro[1,2,3,4-tetrahydroquinazoline-4,4'-imidazolidine-2,2',5'-trione] derivatives and their use for treatment of diabetes complications

INVENTOR(S): Yamada, Yoshihisa; Matsuoka, Yuzo; Matsumoto, Mamoru

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

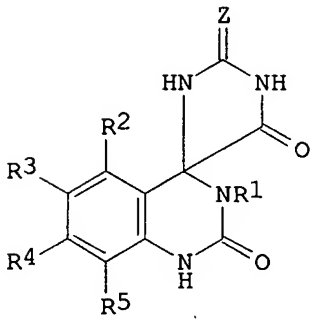
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 63060987	A	19880317	JP 1986-204663	19860829
JP 03012067	B	19910219		
CA 1300623	C	19920512	CA 1987-545406	19870826
AT 8702159	A	19911115	AT 1987-2159	19870827
AT 394721	B	19920610		
ES 2004991	A6	19890216	ES 1987-2505	19870828
AT 8902013	A	19911115	AT 1989-2013	19890825
AT 394722	B	19920610		

PRIORITY APPLN. INFO.: JP 1986-204663 A 19860829
AT 1987-2159 A 19870827

OTHER SOURCE(S): MARPAT 110:8228

GI



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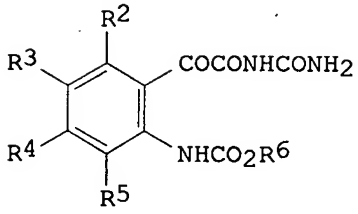
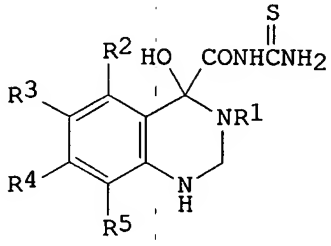


III



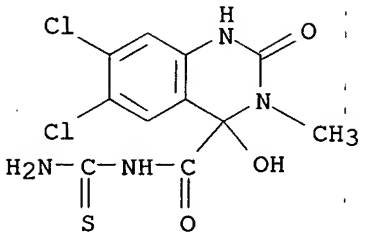
IV

AB The title compds. [I; R1 = lower alkyl, (un)substituted Ph, aralkyl; R2-R5 = H, halo, lower alkyl, lower alkoxy, lower alkoxycarbonyl, (lower alkoxycarbonyl)lower alkenyl; adjacent pairs of R2-R5 = methylenedioxy, the others = H; R6 = alkoxy, Z = O] (II), useful for treatment of diabetes complications (no data), were prepared from (2-aminophenyl)oxalylurea derivs. III, or quinazoline derivs. I (Z = S, NH) or IV. A mixture of 9.41 g 5,2-Cl(EtO2CNH)C6H3COCONHNH2, 5.11 g 40% MeNH2 in MeOH, 200 mL PhMe, and 20 mL EtOH was heated at 120° for 4 h with stirring to give 2.33 g I (R1 = Me, R2 = R4 = R5 = H, R3 = Cl, Z = O).

IT 107583-16-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 107583-16-4 ZCAPLUS

CN 4-Quinazolinecarboxamide, N-(aminothioxomethyl)-6,7-dichloro-1,2,3,4-tetrahydro-4-hydroxy-3-methyl-2-oxo- (9CI) (CA INDEX NAME)

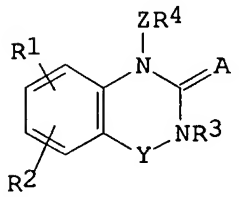


L4 ANSWER 42 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:75411 ZCAPLUS
DOCUMENT NUMBER: 108:75411
TITLE: Preparation of quinazolinealkanoates as aldose reductase inhibitors, for treatment of diabetic complications
INVENTOR(S): Hashimoto, Masashi; Oku, Teruo; Ito, Yoshikuni; Namiki, Takayuki; Sawada, Kozo; Kasahara, Chiyoshi; Baba, Yukihisa
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 102 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 218999	A2	19870422	EP 1986-113559	19861002
EP 218999	A3	19880113		
EP 218999	B1	19910206		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8607043	A	19870729	ZA 1986-7043	19860916
US 4734419	A	19880329	US 1986-908005	19860916
DK 8604590	A	19870408	DK 1986-4590	19860925
DK 158838	B	19900723		
DK 158838	C	19910114		
FI 8603917	A	19870408	FI 1986-3917	19860929
FI 90234	B	19930930		
FI 90234	C	19940110		
AT 60761	T	19910215	AT 1986-113559	19861002
IL 80213	A	19910630	IL 1986-80213	19861002
SU 1588283	A3	19900823	SU 1986-4028362	19861003
CA 1289139	C	19910917	CA 1986-519759	19861003
NO 8603980	A	19870408	NO 1986-3980	19861006
NO 171785	B	19930125		
NO 171785	C	19930505		
AU 8663589	A	19870409	AU 1986-63589	19861006
AU 596611	B2	19900510		
JP 62096476	A	19870502	JP 1986-237605	19861006
JP 04082148	B	19921225		
HU 41747	A2	19870528	HU 1986-4192	19861006
HU 196972	B	19890228		
CN 86106984	A	19870520	CN 1986-106984	19861007
CN 1017242	B	19920701		
US 4883800	A	19891128	US 1987-130297	19871208
JP 01125322	A	19890517	JP 1988-207571	19880822
JP 05000366	B	19930105		

JP 01131164	A	19890524	JP 1988-207570	19880822
JP 07094447	B	19951011		
PRIORITY APPLN. INFO.:			GB 1985-24663	A 19851007
			US 1986-908005	A3 19860917
			EP 1986-113559	A 19861002

OTHER SOURCE(S): MARPAT 108:75411
GI



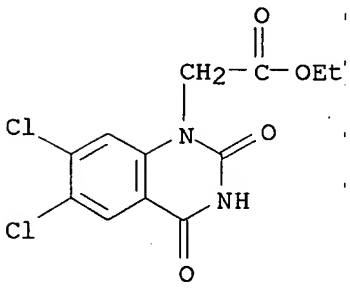
I

AB The title compds. [I; R1, R2 = H, halo, (halo)alkoxy; R3 = (substituted)aryl, aralkyl, heterocyclylalkyl; R4 = (protected) CO2H; A = O, S; Y = CO, CS, SO2; Z = lower alkylene] and their pharmaceutically acceptable salts were prepared as aldose reductase inhibitors, useful for therapeutic treatment of diabetic complications. Cyclocondensation of 2-amino-4-bromo-N-(4-bromo-2-fluorobenzyl)benzamide with carbonyldiimidazole in dioxane and alkylation of the resulting I (R1 = 7-Br, R2 = H, R3 = 4,2-BrFC6H3CH2, A = O, Y = CH2, ZR4 = H) with BrCH2CO2Et in DMF containing NaH gave, after saponification and acidification, I (R1 = 7-Br, R2 = H, R3 = 4,2-BrFC6H3CH2, R4 = CO2H, A = O, Y = CH2, Z = CH2) (II). II inhibited aldose reductase prepared from rabbit eye lens with an IC50 of 3.1 + 10-9 and, at 32 mg/kg, inhibited sorbitol accumulation in the sciatic nerve in rats by 99%.

IT 112733-86-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of, by benzyl bromide derivative)

RN 112733-86-5 ZCAPLUS

CN 1(2H)-Quinazolineacetic acid, 6,7-dichloro-3,4-dihydro-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for aldose reductase inhibitor

L4 ANSWER 43 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:176417 ZCAPLUS

DOCUMENT NUMBER: 106:176417

TITLE: Spiroquinazolinones as aldose reductase inhibitors

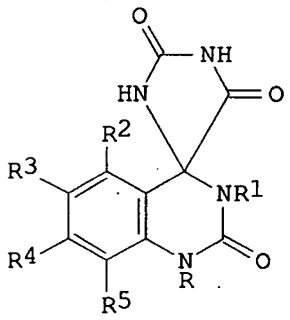
10/ 530,897a

INVENTOR(S): Yamada, Yoshihisa; Matsuoka, Yuzo; Matsumoto, Mamoru
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 204534	A2	19861210	EP 1986-304164	19860602
EP 204534	A3	19880107		
EP 204534	B1	19910130		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4762839	A	19880809	US 1986-866226	19860522
CA 1287632	C	19910813	CA 1986-510188	19860528
JP 62096477	A	19870502	JP 1986-126828	19860530
JP 05041146	B	19930622		
AT 60606	T	19910215	AT 1986-304164	19860602
ES 555761	A1	19880216	ES 1986-555761	19860605
JP 62174078	A	19870730	JP 1986-242800	19861013
JP 03012068	B	19910219		
AU 587641	B2	19890824	AU 1986-64218	19861020
AU 8664218	A	19880421		
HU 47283	A2	19890228	HU 1986-4457	19861023
HU 200182	B	19900428		
CN 86107862	A	19880525	CN 1986-107862	19861110
CN 1015459	B	19920212		
ES 557608	A1	19880216	ES 1987-557608	19870626

PRIORITY APPLN. INFO.: JP 1985-124008 A 19850606
JP 1985-234160 A 19851018
EP 1986-304164 A 19860602

OTHER SOURCE(S): CASREACT 106:176417; MARPAT 106:176417
GI



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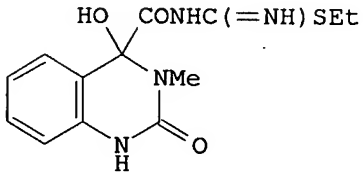


II

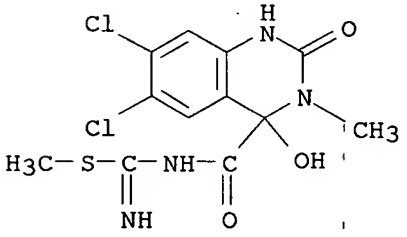
AB The title compds. [I; R = H, alkyl; R1 = alkyl, (substituted) Ph, aralkyl; R2-R5 = H, halo, alkyl, alkoxy, alkoxycarbonyl, alkoxycarbonylalkenyl; R2R3, R3R4, R4R5 = OCH2O] were prepared as aldose reductase inhibitors, useful in treating complications of diabetes. Thus, isatin was condensed successively with MeNCO and H2NC(:NH)SEt to give hydroxyquinazolinone II. II cyclized in acid to give (±)-I (R, R2-R5 = H, R1 = Me) [(±)-III], which was resolved with brucine. (+)-III was chlorinated with SO2Cl2 to give (+)-I (R = R4 = R5 = H, R1 = Me, R3 = Cl) (IV). IV inhibited rabbit

eye lens aldose reductase with an IC50 of 5-6 + 10-8 M.

IT 107583-17-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, spiroimidazolidinequinazoline derivative by)

RN 107583-17-5 ZCAPLUS

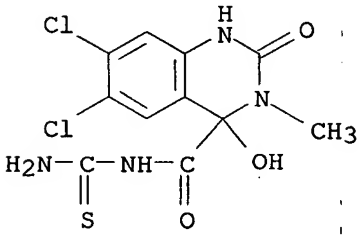
CN Carbamimidothioic acid, [(6,7-dichloro-1,2,3,4-tetrahydro-4-hydroxy-3-methyl-2-oxo-4-quinazolinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 107583-16-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ethylation of)

RN 107583-16-4 ZCAPLUS

CN 4-Quinazolinecarboxamide, N-(aminothioxomethyl)-6,7-dichloro-1,2,3,4-tetrahydro-4-hydroxy-3-methyl-2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 44 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1980:471800 ZCAPLUS

DOCUMENT NUMBER: 93:71800

TITLE: Quinazoline derivatives

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

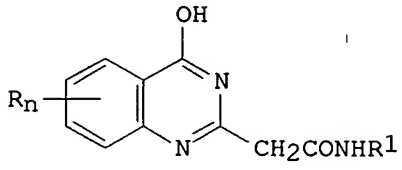
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

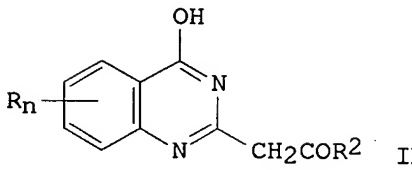
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55013290	A	19800130	JP 1979-86552	19790710
JP 01038108	B	19890811		
DE 2830555	A1	19800306	DE 1978-2830555	19780712
US 4288362	A	19810908	US 1979-43494	19790529
EP 8627	A2	19800319	EP 1979-102211	19790702

EP 8627	A3	19800514		
EP 8627	B1	19841003		
R: CH, DE, FR, GB				
JP 01198666	A	19890810	JP 1988-320753	19790710
BR 7904409	A	19800408	BR 1979-4409	19790711
PRIORITY APPLN. INFO.:			DE 1978-2830555	A 19780712

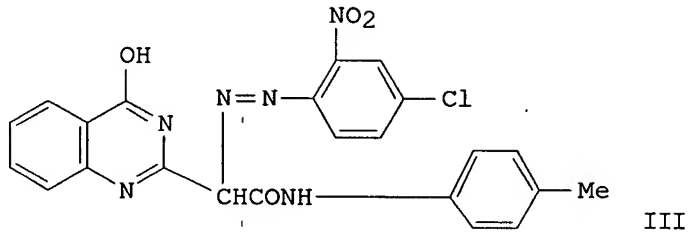
GI



I



II



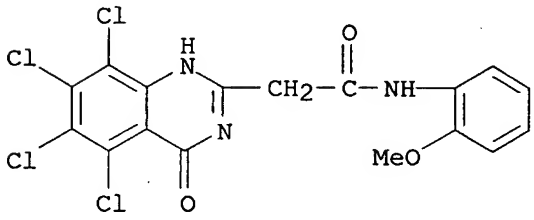
III

AB Quinazoline derivs. (I; R = H, alkyl, NO2, etc.; R1 = aryl; n = 0-2) were prepared by reaction of quinozolineacetic acid derivs. (II; R2 = halo, alkoxy) with R1NH2. I were coupled with aromatic diazonium salts to produce azo dyes. Thus, a mixture of 40 g PhNH2 and 96 g II (R = H, R2 = EtO) in ClCH2CH2Cl was heated at 170-80° to give 98% I (R = H, R1 = Ph). Similarly prepared were 24 addnl. I. Coupling of 12 g I (R = H, R1 = p-tolyl) with 7.2 g 2,4-Cl(NO2)C6H3NH2 diazonium salt gave 97% azo dye III. Similarly prepared were 31 addnl. azo dyes.

IT 74089-43-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 74089-43-3 ZCAPLUS

CN 2-Quinazolineacetamide, 5,6,7,8-tetrachloro-1,4-dihydro-N-(2-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 45 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1976:59526 ZCAPLUS
DOCUMENT NUMBER:	84:59526
TITLE:	Quinazolinones as herbicides
INVENTOR(S):	Dominy, Beryl W.; Hess, Hans J. E.; Koch, Richard Carl
PATENT ASSIGNEE(S):	Pfizer Inc., USA
SOURCE:	U.S., 13 pp. Division of U.S. 3,840,540.

CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

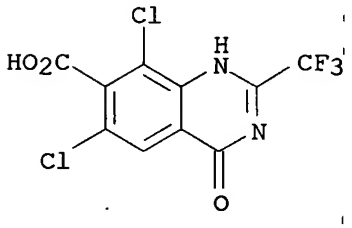
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3905800	A	19750916	US 1973-422970	19731207
US 3840540	A	19741008	US 1972-234372	19720313
PRIORITY APPLN. INFO.:			US 1970-54618 A2	19700713
			US 1972-234372 A3	19720313

GI For diagram(s), see printed CA Issue.

AB Quinazolinones I (R = F, CF3, CF2CF3, Cl, H, CHClF, CHF2; R1 = H, Cl; R2 = H, Cl, Br, I, NO2, Me, F; R3 = H, NO2, Cl, Me, CONH2, CONHEt, CONEt2, CONHCH2CH2OH, morpholinocarbonyl; R4 = Cl, H, Br, I, CF3, Me, OMe) (68 compds.) were prepared by treating (RCF2CO)2O or RCF2COCl with anthranilic acids NH3 or with anthranilamides. Thus, 4.5 g I (R = F, R1 = R3 = R4 = H, R2 = Br) was obtained by treating 9 g. 5,2-Br(H2N)C6H3CO2H with 17.5 g (CF3CO)2O and saturated with NH3. At 10 lb/acre pre-emergence it gave complete control of green foxtail, mustard, and wild morning glory.

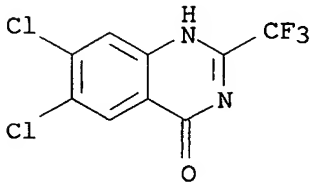
IT 50419-74-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of)

RN 50419-74-4 ZCAPLUS
CN 7-Quinazolinecarboxylic acid, 6,8-dichloro-1,4-dihydro-4-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

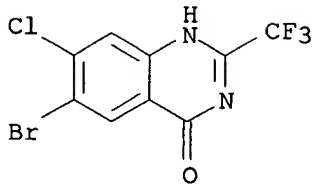


IT 35982-56-0P 54139-91-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of)

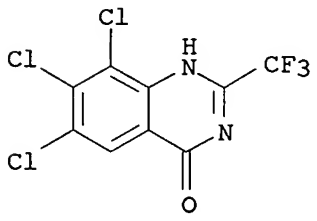
RN 35982-56-0 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-dichloro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



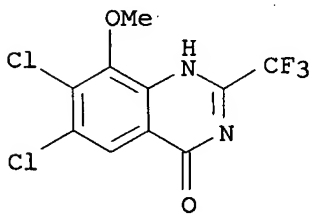
RN 54139-91-2 ZCAPLUS
CN 4(1H)-Quinazolinone, 6-bromo-7-chloro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



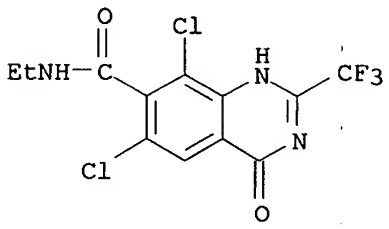
IT 50419-70-0P 50419-71-1P 50419-75-5P
50419-77-7P 50419-78-8P 50419-79-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 50419-70-0 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7,8-trichloro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 50419-71-1 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-dichloro-8-methoxy-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

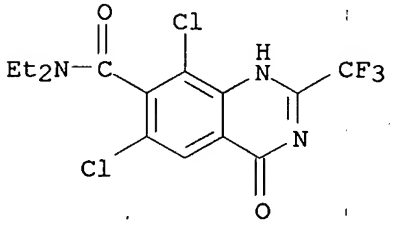


RN 50419-75-5 ZCAPLUS
CN 7-Quinazolinecarboxamide, 6,8-dichloro-N-ethyl-1,4-dihydro-4-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

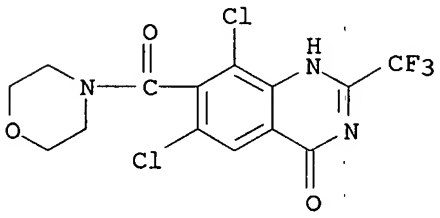


RN 50419-77-7 ZCAPLUS

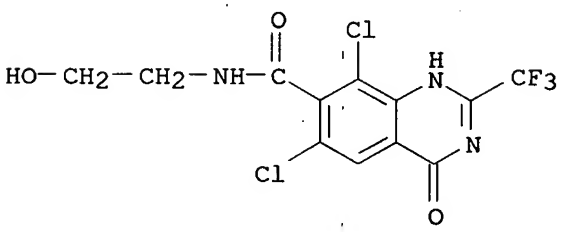
CN 7-Quinazolinecarboxamide, 6,8-dichloro-N,N-diethyl-1,4-dihydro-4-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 50419-78-8 ZCAPLUS
CN Morpholine, 4-[[6,8-dichloro-1,4-dihydro-4-oxo-2-(trifluoromethyl)-7-quinazolinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 50419-79-9 ZCAPLUS
CN 7-Quinazolinecarboxamide, 6,8-dichloro-1,4-dihydro-N-(2-hydroxyethyl)-4-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

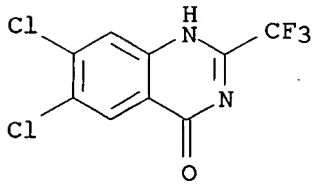


L4 ANSWER 46 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1975:52685 ZCAPLUS
DOCUMENT NUMBER: 82:52685
TITLE: Killing insects with quinazolinones andquinazoline-thiones
INVENTOR(S): McFarland, James W.
PATENT ASSIGNEE(S): Pfizer, Chas., and Co., Inc.
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

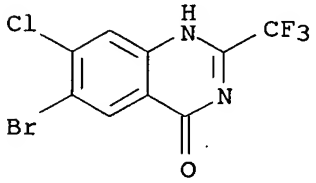
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

10/ 530,897a

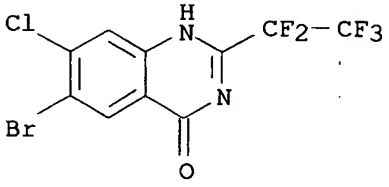
US 3843791 A 19741022 US 1973-322892 19730111
PRIORITY APPLN. INFO.: US 1973-322892 A 19730111
GI For diagram(s), see printed CA Issue.
AB I (R = H, F, Cl, C2-4 perfluoroalkyl, or CXYZ, where X, Y,and Z = H, F, or Cl; R1 = H, F, Cl, Br, iodo, or CF3; R2 = H, F, Cl, Br, or NO2; R3 = O or S are insecticides. Thus I (R = F, R1 = 6-Cl, R2 = 8-CF3, R3 = O) [35982-26-4] controlled blowfly (Lucilia sericata) larvae in the laboratory Synthesis is given.
IT 35982-56-0 54139-91-2 54139-95-6
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(insecticide)
RN 35982-56-0 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-dichloro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 54139-91-2 ZCAPLUS
CN 4(1H)-Quinazolinone, 6-bromo-7-chloro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 54139-95-6 ZCAPLUS
CN 4(1H)-Quinazolinone, 6-bromo-7-chloro-2-(pentafluoroethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1973:537190 ZCAPLUS
DOCUMENT NUMBER: 79:137190
TITLE: Quinazolinones as herbicides
INVENTOR(S): Dominy, Beryl W.; Koch, Richard Carl; Hess, Hans J. E.

10/ 530,897a

PATENT ASSIGNEE(S): Pfizer Inc.
SOURCE: Fr. Addn., 25 pp. Addn. to Fr. 2,098,361 (See Ger. 2,134,263, CA 76;113243u).
CODEN: FAXXA3
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2157874	A2	19730608	FR 1972-36734	19721017
FR 2157874	B2	19770304		
US 3840540	A	19741008	US 1972-234372	19720313
GB 1410178	A	19751015	GB 1972-44938	19720928
DE 2250282	A1	19730927	DE 1972-2250282	19721013
JP 49000280	A	19740105	JP 1972-101996	19721013
JP 56006995	B	19810214		
CA 978953	A1	19751202	CA 1972-154033	19721017

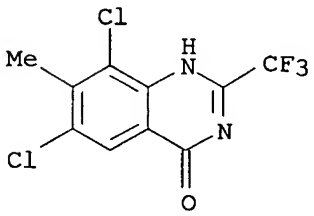
PRIORITY APPLN. INFO.: US 1972-234372 A 19720313
US 1970-54618 A2 19700713

GI For diagram(s), see printed CA Issue.

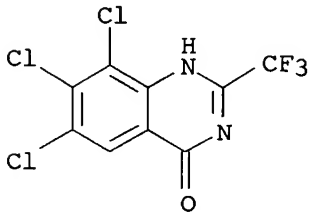
AB Fluoroalkylquinazolinones I (R = H, F, CHClF, CHF2, CF3; R1 = H, Cl; R2 = H, Cl, Br, NO2, Me; R3 = H, Cl, CONHEt, CONH2, CONEt2, morpholinocarbonyl, CONHCH2CH2OH, Me; R4 = H, Cl, Br, CF3, Me) were prepared Thus, 10 g I (R-R4 = H) was obtained by cyclizing 10 g 2-H2NC6H4CONH2 with 10 g CHF2CO2H. I were particularly active against wild morning glories in soybeans.

IT 50419-69-7P 50419-70-0P 50419-71-1P
50419-75-5P 50419-76-6P 50419-77-7P
50419-78-8P 50419-79-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

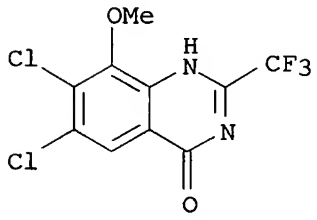
RN 50419-69-7 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,8-dichloro-7-methyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



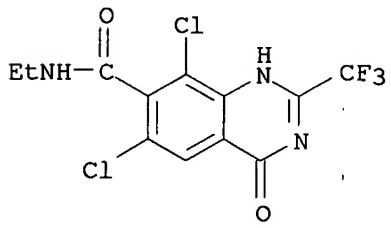
RN 50419-70-0 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7,8-trichloro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



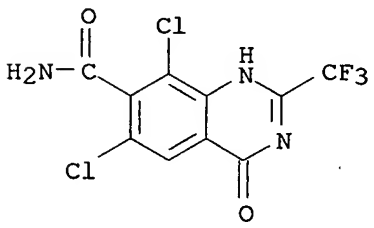
RN 50419-71-1 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-dichloro-8-methoxy-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



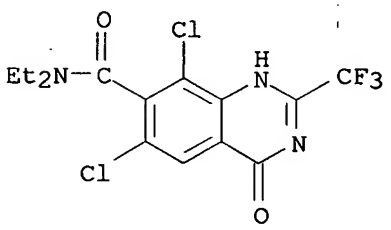
RN 50419-75-5 ZCAPLUS
CN 7-Quinazolinecarboxamide, 6,8-dichloro-N-ethyl-1,4-dihydro-4-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 50419-76-6 ZCAPLUS
CN 7-Quinazolinecarboxamide, 6,8-dichloro-1,4-dihydro-4-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

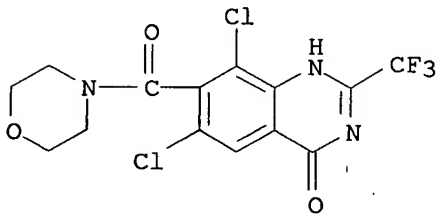


RN 50419-77-7 ZCAPLUS
CN 7-Quinazolinecarboxamide, 6,8-dichloro-N,N-diethyl-1,4-dihydro-4-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

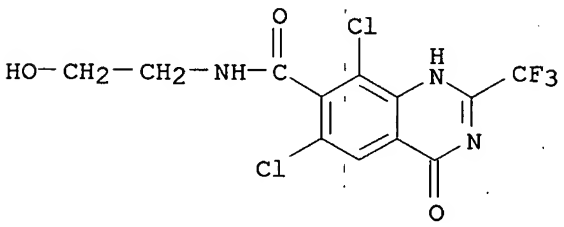


10/ 530,897a

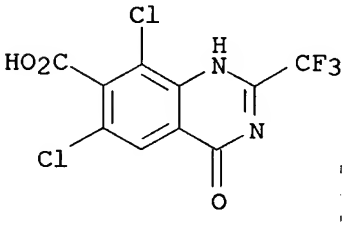
RN 50419-78-8 ZCAPLUS
CN Morpholine, 4-[[6,8-dichloro-1,4-dihydro-4-oxo-2-(trifluoromethyl)-7-quinazolinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 50419-79-9 ZCAPLUS
CN 7-Quinazolinecarboxamide, 6,8-dichloro-1,4-dihydro-N-(2-hydroxyethyl)-4-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT 50419-74-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thionyl chloride)
RN 50419-74-4 ZCAPLUS
CN 7-Quinazolinecarboxylic acid, 6,8-dichloro-1,4-dihydro-4-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 48 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1973:505288 ZCAPLUS
DOCUMENT NUMBER: 79:105288
TITLE: 6,7-Disubstituted quinazolinones
INVENTOR(S): Muren, James F.
PATENT ASSIGNEE(S): Pfizer Inc.
SOURCE: Ger. Offen., 36 pp. Addn. to Ger. Offen. 2,116,079 (CA 76;140877k).
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2

10/ 530,897a

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2300050	A1	19730719	DE 1973-2300050	19730102
US 3793326	A	19740219	US 1972-215117	19720103
GB 1406103	A	19750917	GB 1972-47469	19721013
JP 48076879	A	19731016	JP 1973-4506	19721229
CA 989834	A1	19760525	CA 1972-160316	19721229
BE 793594	A4	19730702	BE 1973-1004706	19730102
ES 410313	A2	19760101	ES 1973-410313	19730102
NL 7300058	A	19730705	NL 1973-58	19730103
FR 2167642	A2	19730824	FR 1973-148	19730103
CH 550807	A	19740628	CH 1973-20	19730103
PRIORITY APPLN. INFO.:			US 1972-215117	A 19720103
			US 1970-26406	A2 19700407
			BE 1971-795343	A 19710406

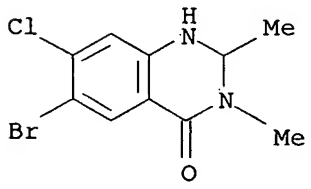
GI For diagram(s), see printed CA Issue.

AB Quinazolinonecarboxylates I (R = CO2Et; R1 = Me, Et, Pr, CH2CHMe2; R2 = H, Me, OMe, Cl, NO2, OCHMe2, OBu, OEt, Br, NH2.HCl; R3 = H, Me, OMe, OEt, OCHMe2, OBu, Cl, NO2; R2R3 = (CH)4, OCH2CH2O) (43 compds.) were prepared Thus 4,2-Me(H2N)C6H3CO2Me was cyclized with ClCO2Et and MeNH2, followed by NaBH4 reduction to give I (R = R2 = H, R1 = Me, R3 = OMe (II), which with (EtO)2CO gave II (R = CO2Et). II (R = CO2Et) was tranquilizing in rats at 56 mg/kg i.p., and analgesic at 32 mg/kg.

IT 50413-42-8P 50413-43-9P 50413-75-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

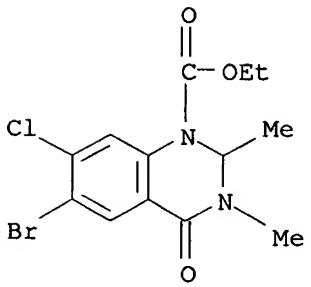
RN 50413-42-8 ZCAPLUS

CN 4(1H)-Quinazolinone, 6-bromo-7-chloro-2,3-dihydro-2,3-dimethyl- (9CI) (CA INDEX NAME)



RN 50413-43-9 ZCAPLUS

CN 1(2H)-Quinazolinecarboxylic acid, 6-bromo-7-chloro-3,4-dihydro-2,3-dimethyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

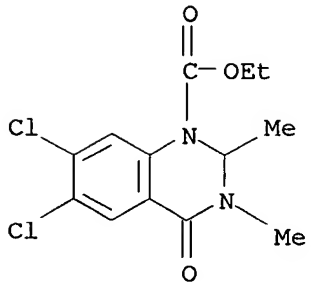


RN 50413-75-7 ZCAPLUS

CN 1(2H)-Quinazolinecarboxylic acid, 6,7-dichloro-3,4-dihydro-2,3-dimethyl-4-

10/ 530,897a

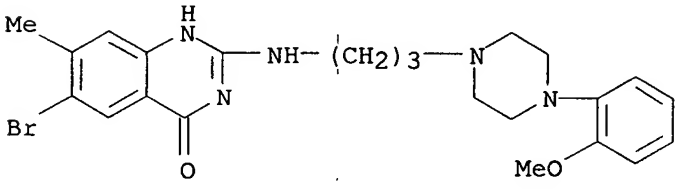
oxo-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1973:478841 ZCAPLUS
DOCUMENT NUMBER: 79:78841
TITLE: Basically substituted 4-pyrimidinone derivatives
INVENTOR(S): Amschler, Hermann; Krastinat, Walter
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: Ger. Offen., 99 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2258561	A1	19730620	DE 1972-2258561	19721130
FR 2162106	A1	19730713	FR 1972-42607	19721130
HU 164196	B	19740128	HU 1972-BI460	19721130
DD 106646	A5	19740620	DD 1972-167200	19721130
NL 7216309	A	19730605	NL 1972-16309	19721201
ZA 7208536	A	19730926	ZA 1972-8536	19721201
JP 48062774	A	19730901	JP 1972-121143	19721202

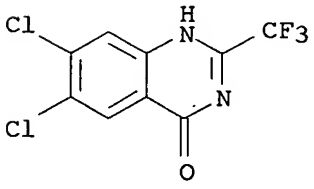
PRIORITY APPLN. INFO.: LU 1971-64387 A 19711202
GI For diagram(s), see printed CA Issue.
AB Antihypertensive pyrimidinones such as I (R = H, Ph; R1 = H, 2-OMe, 3-Me; X = O, S; n = 2-4), II, and III (67 compds.) were prepared Thus I (R = Ph, R1 = 2-OMe, X = O, n = 3) was obtained in 72% yield by treating 2-chloro-3-phenyl-6,7-dimethoxy-4(3H)quinazolone with 1-(3-aminopropyl)-4-(2-methoxyphenyl)piperazine.
IT 43092-32-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 43092-32-6 ZCAPLUS
CN 4(1H)-Quinazolinone, 6-bromo-2-[[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]amino]-7-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 50 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1972:113243 ZCAPLUS
DOCUMENT NUMBER: 76:113243
TITLE: 4(3H)-quinazolinones and 4(3H)-quinazolinethiones as herbicides
INVENTOR(S): Dominy, Beryl W.; Hess, Hans J.; Koch, Richard Carl
PATENT ASSIGNEE(S): Pfizer Inc.
SOURCE: Ger. Offen., 54 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2134263	A	19720203	DE 1971-2134263	19710709
GB 1301319	A	19721229	GB 1970-1301319	19701113
FR 2098361	A5	19720310	FR 1971-25300	19710709
FR 2098361	B1	19741108		
JP 55005507	B	19800207	JP 1971-50402	19710709
JP 55098103	A	19800725	JP 1978-151860	19781208

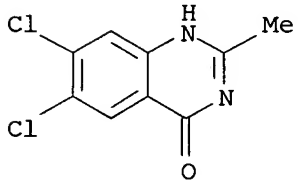
PRIORITY APPLN. INFO.: US 1970-54618 A 19700713
GI For diagram(s), see printed CA Issue.
AB Numerous title compds. were prepared and shown to be effective herbicides. Thus, 9.0 g 5-bromoanthranilic acid in CHCl3-pyridine was refluxed 1.5 hr with (CF3CO)2O, the mixture concentrated to dryness and treated with NH3 to give 6.5 g I. Also prepared and tested as herbicides were II (R = H) and II (R = Cl).
IT 35982-56-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 35982-56-0 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-dichloro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 51 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1971:40780 ZCAPLUS
DOCUMENT NUMBER: 74:40780
TITLE: Molecular orbital theory and pharmacologic receptor theory as integrated experimental tools
AUTHOR(S): Wohl, Arnold J.
CORPORATE SOURCE: Dep. Pharmacol., Schering Corp., Bloomfield, NJ, USA
SOURCE: Mol. Orbital Stud. Chem. Pharmacol., Symp. (1970), Meeting Date 1969, 262-87. Editor(s): Kier, Lemont B. Springer: New York, N. Y.
CODEN: 22LSAJ

10/ 530,897a

DOCUMENT TYPE: Conference
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Springer: New York, N.Y. Calcns. carried out on the 2-H and 4-H tautomers of a number of variously substituted benzothiadiazines indicated that these compds., in general, most likely existed as the 4-H tautomer (I). Information obtained from the extended Hueckel theory computations encompassed the salient determinants of potency. Hypothetically, 4-methylated compds. should be inactive.
IT 31444-70-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antihypertensive activity of, mol. orbitals in relation to)
RN 31444-70-9 ZCAPLUS
CN 4(1H)-Quinazolinone, 6,7-dichloro-2-methyl- (8CI) (CA INDEX NAME)



L4 ANSWER 52 OF 52 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1970:445458 ZCAPLUS
DOCUMENT NUMBER: 73:45458
TITLE: Preparation and nucleophilic substitution of hexafluoroquinazoline
AUTHOR(S): Allison, C. G.; Chambers, Richard D.; MacBride, John A. H.; Musgrave, William K. R.
CORPORATE SOURCE: Dep. Chem., Univ. Durham, Durham, UK
SOURCE: Tetrahedron Letters (1970), (23), 1979-81
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The title compound (I) (prepared from the hexachloro compound and KF) is treated
with water in H2SO4 to give II. III is prepared from I and NH3. I is treated with NaOMe-MeOH to give IV and V.
IT 28008-24-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 28008-24-4 ZCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 5,6,7,8-tetrafluoro- (8CI) (CA INDEX NAME)